Copy #1

L2 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1977:435457 CAPLUS
DN 87:35457
TI Reading a wet fluorescent surface
IN Bolz, Gunner; Deindoerfer, Fred H.; Gifford, Charles R.; Kameda, Naomi
PA International Diagnostic Technology, Inc., USA
SO U.S., 4 pp.
CODEN: USXXAM
DT Patent
LA English
IC G01N021-22
NCL 023230000B
CC 9-4 (Biochemical Methods)
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4025310	A	19770524	US 1976-690975	19760528
PRAI	US 1976-690975		19760528		

AB An improved method is described for the fluorometric measurement of a fluorescent label on a solid support surface in which the surface is read while coated with a continuous aq. layer. For reading in a horizontal position, the surface is coated by immersion into a contained aq. soln. and removed and read prior to evapn. to discontinuity. For reading in a vertical position, a layer of **humectant** is deposited on the surface to **retain** the **water** content.

ST fluorescent label detection; antigen fluorescent label detection; antibody fluorescent label detection

IT Fluorescent substances
(detn. of, on solid supports)

IT Fluorometry
(of fluorescent labels, on solid supports)

=>

Copy #2.

L2 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2003:203848 CAPLUS
TI Usefulness of skin hydration for skin care and development of cosmetics
AU Kohno, Yoshiyuki
CS Material Science Research Center, Shiseido Research Center, Japan
SO Nippon Keshohin Gijutsusha Kaishi (2002), 36(4), 253-261
CODEN: NKGKF8
PB Nippon Keshohin Gijutsushakai
DT Journal
LA Japanese
CC 62 (Essential Oils and Cosmetics)
AB Maintaining suitable skin hydration is very effective for preventing dry skin. This is the most basic and important function of cosmetics. Various types of **emollients** and **humectants** are used in skincare products to prevent **water** loss from the skin and **retain water**. In the stratum corneum, the importance of natural moisturizing factor (NMF), sebum and intercellular lipids has been demonstrated. From a dermatol. approach, we have already reconstructed an analogy of the skin hydration mechanism. For dry skin, we have demonstrated the usefulness of "moisture balance;" i.e., to supply equiv. substances of water, humectants and oils in cosmetics. It is also important to develop cosmetics from a pharmacol. approach. This is very helpful in the development of new, more effective components for cosmetics. Recently we have clarified the important role of epidermal protease activity in dry skin. Inhibition of its activity accelerates intercellular repair response. We have developed trans-4-aminomethyl cyclohexane carboxylic acid (t-AMCHA), which has an anti-plasmin (a epidermal protease) activity and can cure dry skin. This article reviews the skin hydration mechanism and development of skin care cosmetics utilizing dermatol. and pharmacol. approaches.

Copy for #3

L9 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1959:31011 CAPLUS
DN 53:31011
OREF 53:5595h-i
TI Humectants in cosmetic emulsions
AU Henney, Gerald C.; Evanson, R. V.; Sperandio, Glen J.
CS St. Louis Coll. of Pharm. and Allied Sci., St. Louis, MO
SO Journal of the Society of Cosmetic Chemists (1958), 9, 329-36
CODEN: JSCCA5; ISSN: 0037-9832
DT Journal
LA Unavailable
CC 17 (Pharmaceuticals, Cosmetics, and Perfumes)
AB A study has been made of the rate of **water loss** from standard vanishing creams in which glycerol, sorbitol, **propylene glycol**, polyethylene glycol 400 and 1,3-butylene glycol were incorporated at levels of 5 to 25%. This **water loss** is a function of the concn. of **humectant** used and the relative humidity of the air. No **humectant** studied was most effective at both low and high relative humidities.
IT Humectants
(for cosmetics)
IT Cosmetics
(humectants for)
IT 57-55-6, 1,2-Propanediol
(as humectant)
IT 50-70-4, Sorbitol 56-81-5, Glycerol 107-88-0, 1,3-Butanediol
25322-68-3, Polyethylene glycol
(as humectant in cosmetic emulsions)

=>

L5 ANSWER 13 OF 24 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2000:622391 CAPLUS
DN 133:212920
TI Compositions for cleansing, conditioning and moisturizing hair and skin
IN Newell, Gerald Patrick; Manuel, Teresa Cuasay
PA Helene Curtis, Inc., USA
SO U.S., 6 pp.
CODEN: USXXAM
DT Patent
LA English
IC ICM A61K007-075
ICS A61K007-48
NCL 424070190
CC 62-4 (Essential Oils and Cosmetics)
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 6113892	A	20000905	US 1997-997684	19971223
PRAI US 1997-997684		19971223		

AB The present invention relates to compns. for cleansing, conditioning, and moisturizing the skin and hair which comprise: (i) a high foaming anionic surfactant; (ii) a polymeric cationic conditioning agent; (iii) a silicone copolyol sulfosuccinate; (iv) an **emollient**; and (v) water. A compn. contg. sodium laureth-2 sulfate 50, methocel 40-101 0.2, Nhance 3196 cationic polymer 0.2, cocamidopropylbetain 6, ammonium cocoyl isethionate 3, disodium dimethicone copolyol 1, carbopol 980 0.5, Dow corning 1784 silicone emulsion 4, PEG-7 glyceryl cocoate 1.5, pearlizing agent (Timiron MP-30) 0.2, and other ingredients and water q.s. to 100 % was prepd.

ST skin hair compn cleansing conditioning moisturizing

IT Surfactants
(anionic; hair and skin compns. for cleansing and conditioning and moisturizing thereof contg.)

IT Cosmetics
(cleansing; hair and skin compns. for cleansing and conditioning and moisturizing thereof contg.)

IT Cosmetics
(conditioners; hair and skin compns. for cleansing and conditioning and moisturizing thereof contg.)

IT Shampoos
(conditioning; hair and skin compns. for cleansing and conditioning and moisturizing thereof contg.)

IT Hair preparations
(hair and skin compns. for cleansing and conditioning and moisturizing thereof contg.)

IT Mica-group minerals, biological studies
RL: BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)
(hair and skin compns. for cleansing and conditioning and moisturizing thereof contg.)

IT 36574-66-0D, N-coco acyl derivs.
RL: BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)
(Cocoamidopropylbetaine; hair and skin compns. for cleansing and conditioning and moisturizing thereof contg.)

IT 56-81-5, Glycerine, biological studies 57-55-6, **Propylene glycol**, biological studies 107-68-6D, MethylTaurine, cocoyl derivs., sodium salts 120-40-1, Lauramide DEA 2235-54-3, Ammonium Lauryl Sulfate 6221-95-0, Myristyl Propionate 7647-14-5, **Sodium Chloride**, biological studies 9000-30-0D, Guar Gum, 2-hydroxy-3-(trimethylammonio)propyl ether chloride 9002-92-0, Laureth-23 9004-65-3, Hydroxypropyl Methylcellulose 9004-82-4, Sodium Laureth(2) Sulfate 13463-67-7, Titanium Dioxide, biological studies

25136-75-8, Polyquaternium-39 27323-41-7 31692-79-2, Dow Corning 1784
31694-55-0D, Polyethylene glycol Glycerol ether, coco fatty acid esters
32612-48-9, Ammonium Laureth Sulfate 57267-78-4D, Ammonium Isethionate,
cocoyl derivs. 81859-24-7, Polyquaternium-10 138757-67-2, Carbopol 980
157090-37-4, Mackanate DC 30 210416-15-2, Methocel 40-101 225220-64-4,
Nhance 3196
RL: BUU (Biological use, unclassified); BIOL (Biological study); USES
(Uses)
(hair and skin compns. for cleansing and conditioning and moisturizing
thereof contg.)

RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

(1) Anon; EP 659405 1995 CAPLUS
(2) Anon; EP 738509 1996 CAPLUS
(3) Guerrero; US 5236710 1993 CAPLUS
(4) Guerrero; US 5336497 1994 CAPLUS
(5) Kim; US 5356438 1994 CAPLUS
(6) Lang; US 4931271 1990 CAPLUS
(7) Laughlin; US 3929678 1975 CAPLUS
(8) Maxon; US 4717498 1988 CAPLUS
(9) Reid; US 5085857 1992 CAPLUS
(10) Scafidi; US 5683683 1997 CAPLUS
(11) Schueller; US 5306434 1994 CAPLUS
(12) Spitzer; US 4152416 1979 CAPLUS

PRIMARY EXAMINER: Raymond, Richard L.
ASSISTANT EXAMINER: Ngo, Tamthom T.
LEGAL REPRESENTATIVE: Loeschorn, Carol A.
NUMBER OF CLAIMS: 15
EXEMPLARY CLAIM: 1
LINE COUNT: 827
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L4 ANSWER 21 OF 29 USPATFULL on STN
ACCESSION NUMBER: 1999:67272 USPATFULL
TITLE: Rapamycin derivatives
INVENTOR(S): Cottens, Sylvain, Witterswil, Switzerland
Sedrani, Richard, Basel, Switzerland
PATENT ASSIGNEE(S): Novartis AG, Basel, Switzerland (non-U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5912253		19990615	
	WO 9516691		19950622	
APPLICATION INFO.:	US 1996-663169		19960614	(8)
	WO 1994-EP4191		19941216	
			19960614	PCT 371 date
			19960614	PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	GB 1993-25800	19931217
	GB 1993-25802	19931217
	GB 1994-7138	19940411
	GB 1994-21982	19941101

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Raymond, Richard L.
LEGAL REPRESENTATIVE: Furman, Diane E.
NUMBER OF CLAIMS: 19
EXEMPLARY CLAIM: 1
LINE COUNT: 936
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L4 ANSWER 22 OF 29 USPATFULL on STN
ACCESSION NUMBER: 1999:50798 USPATFULL
TITLE: Assays for measuring immunosuppressants by reporter gene expression
INVENTOR(S): Baumann, Goetz, Inzlingen, Germany, Federal Republic of
Di Padova, Franco E., Birsfelden, Switzerland
Wenner, Peter, Lorrach, Germany, Federal Republic of
PATENT ASSIGNEE(S): Novartis AG, Basel, Switzerland (non-U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5897990		19990427	
	WO 9525812		19950928	
APPLICATION INFO.:	US 1996-716146		19960917	(8)
	WO 1995-EP1009		19950317	
			19960917	PCT 371 date
			19960917	PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	GB 1994-5350	19940318

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Spector, Lorraine
ASSISTANT EXAMINER: Kaufman, Claire M.

LEGAL REPRESENTATIVE: Furman, Diane E.
NUMBER OF CLAIMS: 20
EXEMPLARY CLAIM: 3
NUMBER OF DRAWINGS: 5 Drawing Figure(s); 5 Drawing Page(s)
LINE COUNT: 561
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L4 ANSWER 23 OF 29 USPATFULL on STN
ACCESSION NUMBER: 97:47078 USPATFULL
TITLE: Aerosol drug formulations containing vegetable oils
INVENTOR(S): Adjei, Akwete L., Wadsworth, IL, United States
Gupta, Pramod K., Gurnee, IL, United States
Lee, Dennis Y., Highland Park, IL, United States
PATENT ASSIGNEE(S): Abbott Laboratories, Abbott Park, IL, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5635161		19970603	
APPLICATION INFO.:	US 1995-485222		19950607	(8)

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Bawa, Raj
LEGAL REPRESENTATIVE: Anand, Mona, Brainard, Thomas D.
NUMBER OF CLAIMS: 15
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 5 Drawing Figure(s); 5 Drawing Page(s)
LINE COUNT: 928
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L4 ANSWER 24 OF 29 USPATFULL on STN
ACCESSION NUMBER: 97:27182 USPATFULL
TITLE: Process for recovering water insoluble compounds from a fermentation broth
INVENTOR(S): Chu, Alexander H. T., Buffalo Grove, IL, United States
Wloch, Gene P., Lake Villa, IL, United States
PATENT ASSIGNEE(S): Abbott Laboratories, Abbott Park, IL, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5616595		19970401	
APPLICATION INFO.:	US 1995-472615		19950607	(8)

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Cain, Edward J.
LEGAL REPRESENTATIVE: Danckers, Andreas M.
NUMBER OF CLAIMS: 20
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 1 Drawing Figure(s); 1 Drawing Page(s)
LINE COUNT: 766
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L4 ANSWER 25 OF 29 USPATFULL on STN
ACCESSION NUMBER: 94:17930 USPATFULL
TITLE: New cyclic FR-900520 microbial biotransformation agent
INVENTOR(S): Garrity, George M., Westfield, NJ, United States
Gagliardi, Magda M., Somerset, NJ, United States
Chen, Shieh-Shung T., Morganville, NJ, United States
PATENT ASSIGNEE(S): Merck & Co., Inc., Rahway, NJ, United States (U.S. corporation)

	NUMBER	KIND	DATE

PATENT INFORMATION: US 5290689 19940301
APPLICATION INFO.: US 1992-951973 19920928 (7)
DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Robinson, Douglas W.
ASSISTANT EXAMINER: Osoteo, Maria
LEGAL REPRESENTATIVE: Caruso, Charles M., North, Robert J., Quagliato, Carol S.
NUMBER OF CLAIMS: 4
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 1 Drawing Figure(s); 1 Drawing Page(s)
LINE COUNT: 509
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L4 ANSWER 26 OF 29 USPATFULL on STN
ACCESSION NUMBER: 94:9521 USPATFULL
TITLE: Cyclic FR-900520 microbial biotransformation agent
INVENTOR(S): Garrity, George M., Westfield, NJ, United States
Chen, Shieh-Shung T., Morganville, NJ, United States
PATENT ASSIGNEE(S): Merck & Co., Inc., Rahway, NJ, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5283183		19940201	
APPLICATION INFO.:	US 1992-952390		19920928	(7)

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Robinson, Douglas W.
ASSISTANT EXAMINER: Osoteo, Maria
LEGAL REPRESENTATIVE: Caruso, Charles M., North, Robert J., Quagliato, Carol S.
NUMBER OF CLAIMS: 4
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 1 Drawing Figure(s); 1 Drawing Page(s)
LINE COUNT: 510
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L4 ANSWER 27 OF 29 USPATFULL on STN
ACCESSION NUMBER: 93:102695 USPATFULL
TITLE: Cyclic FR-900520 microbial biotransformation agent
INVENTOR(S): Garrity, George M., Westfield, NJ, United States
Chen, Shieh-Shung T., Morganville, NJ, United States
PATENT ASSIGNEE(S): Merck & Co., Inc., Rahway, NJ, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5268282		19931207	
APPLICATION INFO.:	US 1992-952389		19920928	(7)

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Robinson, Douglas W.
ASSISTANT EXAMINER: Osoteo, Maria
LEGAL REPRESENTATIVE: Caruso, Charles M., North, Robert J., Quagliato, Carol S.
NUMBER OF CLAIMS: 4
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 1 Drawing Figure(s); 1 Drawing Page(s)
LINE COUNT: 514
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L4 ANSWER 28 OF 29 USPATFULL on STN
ACCESSION NUMBER: 93:102694 USPATFULL

TITLE: Cyclic FR-900520 microbial biotransformation agent
INVENTOR(S): Garrity, George M., Westfield, NJ, United States
Gagliardi, Magda M., Somerset, NJ, United States
Chen, Shieh-Shung T., Morganville, NJ, United States
PATENT ASSIGNEE(S): Merck & Co., Inc., Rahway, NJ, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5268281		19931207
APPLICATION INFO.:	US 1992-952102		19920928 (7)

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Robinson, Douglas W.
ASSISTANT EXAMINER: Osoteo, Maria
LEGAL REPRESENTATIVE: Caruso, Charles M., North, Robert J., Quagliato, Carol S.
NUMBER OF CLAIMS: 4
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 1 Drawing Figure(s); 1 Drawing Page(s)
LINE COUNT: 501
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L4 ANSWER 29 OF 29 USPATFULL on STN
ACCESSION NUMBER: 93:54717 USPATFULL
TITLE: C-21 hydroxylated FK-506 antagonist
INVENTOR(S): Treiber, Laszlo R., Gillette, NJ, United States
Dezeny, Georgette, Short Hills, NJ, United States
Colwell, Jr., Lawrence F., Eatontown, NJ, United States
Arison, Byron H., Watchung, NJ, United States
Dumont, Francis, Rahway, NJ, United States
PATENT ASSIGNEE(S): Merck & Co., Inc., Rahway, NJ, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5225403		19930706
APPLICATION INFO.:	US 1991-720550		19910625 (7)

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Nutter, Nathan M.
LEGAL REPRESENTATIVE: North, Robert J., DiPrima, Joseph F., Caruso, Charles M.
NUMBER OF CLAIMS: 6
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 1 Drawing Figure(s); 1 Drawing Page(s)
LINE COUNT: 526
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L230 ANSWER 3 OF 51 USPATFULL

ACCESSION NUMBER:	2002:304005 USPATFULL
TITLE:	Pyridine-thiols for treatment of a follicular dermatosis
INVENTOR(S):	Thornfeldt, Carl R., Nampa, ID, United States
PATENT ASSIGNEE(S):	Cellegy Pharmaceuticals, Inc., Foster City, CA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6482839	B1	20021119
APPLICATION INFO.:	US 1998-145822		19980902 (9)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. WO 1998-US11270, filed on 2 Jun 1998 Continuation-in-part of Ser. No. US 1998-89302, filed on 1 Jun 1998		

	NUMBER	DATE
PRIORITY INFORMATION:	US 1997-47360P	19970602 (60)
	US 1997-56282P	19970903 (60)
	US 1997-58752P	19970912 (60)
	US 1997-56290P	19970903 (60)

DOCUMENT TYPE:	Utility
FILE SEGMENT:	GRANTED
PRIMARY EXAMINER:	Webman, Edward J.
LEGAL REPRESENTATIVE:	Townsend and Townsend and Crew, LLP
NUMBER OF CLAIMS:	16
EXEMPLARY CLAIM:	1
NUMBER OF DRAWINGS:	0 Drawing Figure(s); 0 Drawing Page(s)
LINE COUNT:	1151

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6482857	B1	20021119
APPLICATION INFO.:	US 1999-353409		19990715 (9)

	NUMBER	DATE
PRIORITY INFORMATION:	US 1998-93192P	19980717 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: GRANTED
PRIMARY EXAMINER: Cook, Rebecca
LEGAL REPRESENTATIVE: Michael Best & Friedrich LLP
NUMBER OF CLAIMS: 4
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 0 Drawing Figure(s); 0 Drawing Page(s)
LINE COUNT: 1503
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L230 ANSWER 3 OF 51 USPATFULL

SUMM The local **absorption** and efficacy of the compounds can be further **enhanced** by incorporating an appropriate amount of an excipient which can allow **increased penetration** of, or assist in the **delivery** of therapeutic molecules across, the stratum corneum permeability **barrier** of the skin. Many of these **penetration enhancing** molecules are known to those trained in the art of topical formulation. Examples include humectants such as **urea** and glycols such as propylene glycol, alcohols including ethanol, fatty acids such as oleic acid, surfactants such as isopropyl myristate and sodium lauryl sulfate, pyrrolidones, glycerol monolaurate, sulfoxides, terpenes including menthol, amines, amides, alkanes, alkanols, Orgelase and water. Vegetable oils or botanical oils containing high unsaturated fatty acids, e.g. safflower oil, olive oil, avocado oil, wheat germ oil, etc. or other chemicals can also facilitate **absorption** and **delivery** of compounds.

SUMM The excipients that can be used in the formulations of the invention are typically compounds whose inclusion is allowed by the Cosmetic, Toiletry and Fragrance Association and that **increase penetration** of or assist in the **delivery** of therapeutic molecules across the stratum corneum permeability **barrier**. There are many of these **penetration enhancing** molecules known to those trained in the art of topical formulations. Examples are humectants such as **urea** and glycols, including propylene glycol and polyethylene glycol, alcohols such as ethanol, fatty acids such as oleic and linoleic acids, alpha-hydroxy acids such as **lactic** acid and **glycolic** acids, surfactants such as isopropyl myristate and sodium lauryl sulfate, pyrollidones, glycerol monolaurate, oleyl alcohol, sulfoxides, terpenes, phenolics including menthol and resorcinol, amines, amino acids, alkanes, alkanols, water and Orgelase. Many of these compounds have recently been shown to produce a measurable anatomic and/or physiologic change, including anti-aging effects, in the keratinizing epithelia giving rise to the term "cosmeceuticals". This class of compounds includes alpha-, beta- and gamma-hydroxy acids, chloracetic acids, carboxylic acids, phenolics, vitamins A, C, and E, catechins and other antioxidants, amino acids, corticosteroids and nonsteroidal antiinflammatory agents and their lactones, esters, amides, salts, analogs, isomers, and derivatives thereof. The preferred cosmeceutical compounds incorporated into this invention include salicylic, epigallocatechin gallate, ancic, mandelic, benzoic, acetic, formic, fumaric, oxalic, mucic, propanoic, succinic, glyceric, linoleic, trichloroacetic, saccharic, tartaronic, galactonic, galacturonic, glucuronic, tetra-hydroxypentanoic and hexahydroxy heptanoic, malic,

citric, tartaric, pyruvic, **glycolic**, **lactic**, linolenic, stearic, palmitic, myristic, oleic, azelaic and kojic acids, gluconolactone, resorcinol, hexylresorcinol, methylresorcinol, retinol, retinaldehyde, tocopherol, alanine, glycine, serine, arginine, thymol, phenol, 4-hydroxy valeric acid, menthol, eucalyptol, and trichloroacetic, bichloroacetic, and nochloroacetic acids. The nutrients include vitamins, minerals, fats, proteins, carbohydrates, water and oxygen. The proceeding list is for examples only and is not intended to be all inclusive of known cosmeceutical compounds.

SUMM The FDA approved prescription therapeutic compounds that can be included in the formulations of the invention for treating epithelial diseases such as those described herein include, for example: nonsteroidal antiinflammatory agents, immunosuppressives, corticosteroids, antimicrobials, chemotherapeutics, vitamin D analogs and retinoids. The preferred compounds include dapsone, meselamine, sulfasalazine, sulfacetamide, silver sulfadiazine, colchicine, calcipotriene, calcipitriol, ibuprofen, flubiprofen, ketoprofen, indomethacin, piroxicam, ketorolac, chloroquine, quinacrine, hydroxy-chloroquine, triamcinolone, flurandrenolide, prednicarbate, halcinonide, alclometasone, hydocortisone, desonide, amcinonide, fluocinonide, diflorasone, betamethasone, dexamethasone, desoximetasone, fluticasone, mometisone, fluocinolone, cyclosporin, **ascomycin**, rapamycin, tacrolimus, erythromycin, clindamycin, lincomycin, vancomycin, ciprofloxacin, ofloxacin, norfloxacin, doxycycline, meclomycin, tetracycline, minocycline, methotrexate, mercaptopurine, hydroxyurea, azathioprine, bleomycin, cyclophosphamide, 5-fluorouracil, cis-platinin, chlorambucil, nitrogen mustard, carmustine, doxorubicin, daonorubicin, anthralin, transretinoic acid, etretinate, acitretin, isotretinoin, adapalene, tazarotene, metronidazole, terbenifine, ketoconazole, oxiconazole, sulconozole, fluconazole, itraconazole, griseofulvin, cicloprix, clotrimizole, econazole, miconazole, azelaic acid, benzoyl peroxide, gramicidin, bacitracin, polymixin, nystatin, tobramycin, gentamicin, chloramphenicol, amphotericin, dicloxacillin, carbenicillin, ampicillin, amoxicillin, amoxicillin-clavulanate, cephalexin, cefixime, cefuroxime, cephadroxil, and mupirocin. The FDA over-the-counter monograph allowed therapeutic compounds for dandruff, psoriasis and seborrheic dermatitis include hydrocortisone, resorcinol, salicylic acid, and sulfur in addition to zinc pyrithione and selenium sulfide which are included in this invention. The preceding list of the approved prescription and OTC therapeutic compounds for epithelial diseases is for example only and is not intended to be all inclusive for the FDA-approved and FDA-monographed compounds.

ACCESSION NUMBER: 2002:304005 USPATFULL
TITLE: Pyridine-thiols for treatment of a follicular dermatosis
INVENTOR(S): Thornfeldt, Carl R., Nampa, ID, United States
PATENT ASSIGNEE(S): Cellegy Pharmaceuticals, Inc., Foster City, CA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6482839	B1	20021119
APPLICATION INFO.:	US 1998-145822		19980902 (9)

RELATED APPLN. INFO.: Continuation-in-part of Ser. No. WO 1998-US11270, filed on 2 Jun 1998 Continuation-in-part of Ser. No. US 1998-89302, filed on 1 Jun 1998

	NUMBER	DATE
PRIORITY INFORMATION:	US 1997-47360P	19970602 (60)
	US 1997-56282P	19970903 (60)
	US 1997-58752P	19970912 (60)

US 1997-56290P 19970903 (60)
DOCUMENT TYPE: Utility
FILE SEGMENT: GRANTED
PRIMARY EXAMINER: Webman, Edward J.
LEGAL REPRESENTATIVE: Townsend and Townsend and Crew, LLP
NUMBER OF CLAIMS: 16
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 0 Drawing Figure(s); 0 Drawing Page(s)
LINE COUNT: 1151
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L230 ANSWER 12 OF 51 USPATFULL

SUMM Interestingly, the immunosuppressive agents cyclosporin A and FK506 are known to invoke a prominent hypertrichotic side effect. See Iwabuchi et al., "Effects of Immunosuppressive Peptidyl-Prolyl cis-trans Isomerase (PPIase) Inhibitors, Cyclosporin A, FK506, **Ascomycin**, and Rapamycin, on Hair Growth Initiation in Mouse: Immunosuppression is not Required for New Hair Growth", Journal of Dermatological Science, Vol. 9, pp. 64-69 (1995); Yamamoto et al., "Hair Growth-Stimulating Effects of Cyclosporin A and FK506, Potent Immunosuppressants", Journal of Dermatological Science, Vol. 7 (suppl.), pp. S47-S54 (1994); Yamamoto et al., "Stimulation of Hair Growth by Topical Application of FK506, a Potent Immunosuppressive Agent", Journal of Investigational Dermatology, Vol. 102, pp. 160-164 (1994); Jiang et al., "Induction of Anagen in Telogen Mouse Skin by Topical Application of FK506, a Potent Immunosuppressant", Journal of Investigational Dermatology, Vol. 104, pp. 523-525 (1995); McElwee et al., "Topical FK506: A Potent Immunotherapy for Alopecia Areata? Studies Using the Dundee Experimental Bald Rat Model", British Journal of Dermatology, Vol. 137, pp. 491-497 (1997); Maurer et al., "Hair Growth Modulation by Topical Immunophilin Ligands", American Journal of Pathology, Vol. 150, No. 4, pp. 1433-1441 (1997); and Paus et al., "Hair Growth Control by Immunosuppression", Arch. Dermatol. Res., Vol. 288, pp. 408-410 (1996). However, use of these compounds as hair growth actives may not be desirable due to their striking potency as immunosuppressive agents.

DETD Other classes of optional hair growth stimulants for use herein include flavinoids, **ascomycin** derivatives and analogs, histamine antagonists such as diphenhydramine hydrochloride, other triterpenes such as oleanolic acid and ursolic acid and those described in U.S. Pat. No. 5,529,769, JP 10017431, WO 95/35103, U.S. Pat. No. 5,468,888, JP 09067253, WO 92/09262, JP 62093215, U.S. Pat. Nos. 5,631,282, 5,679,705, JP 08193094, saponins such as those described in EP 0,558,509 to Bonte et al., published Sep. 8, 1993 and WO 97/01346 to Bonte et al, published Jan. 16, 1997 (both of which are herein incorporated by reference in their entirety), proteoglycanase or glycosaminoglycanase inhibitors such as those described in U.S. Pat. No. 5,015,470, issued May 14, 1991, U.S. Pat. No. 5,300,284, issued Apr. 5, 1994 and U.S. Pat. No. 5,185,325, issued Feb. 9, 1993 (all of which are herein incorporated in their entirety by reference) estrogen agonists and antagonists, pseudoterins, cytokine and growth factor promotors, analogs or inhibitors such as interleukinl inhibitors, interleukin-6 inhibitors, interleukin-10 promotors, and tumor necrosis factor inhibitors, vitamins such as vitamin D analogs and parathyroid hormone antagonists, Vitamin B12 analogs and panthenol, interfuron agonists and antagonists, hydroxyacids such as those described in U.S. Pat. No. 5,550,158, benzophenones, and hydantoin anticonvulsants such as phenytoin.

DETD Non-limiting examples of **penetration enhancers** which may be used in the compositions herein include, for example, 2-methyl propan-2-ol, propan-2-ol, ethyl-2-hydroxypropanoate, hexan-2,5-diol, POE(2) ethyl ether, di(2-hydroxypropyl) ether, pentan-2,4-diol, acetone, POE(2) methyl ether, 2-hydroxypropionic acid, 2-hydroxyoctanoic acid, propan-1-ol, 1,4-dioxane, tetrahydrofuran, butan-1,4-diol, propylene glycol dipelargonate, polyoxypropylene 15 stearyl ether, octyl alcohol,

POE ester of oleyl alcohol, oleyl alcohol, lauryl alcohol, dioctyl adipate, dicapryl adipate, di-isopropyl adipate, di-isopropyl sebacate, dibutyl sebacate, diethyl sebacate, dimethyl sebacate, dioctyl sebacate, dibutyl suberate, dioctyl azelate, dibenzyl sebacate, dibutyl phthalate, dibutyl azelate, ethyl myristate, dimethyl azelate, butyl myristate, dibutyl succinate, didecyl phthalate, decyl oleate, ethyl caproate, ethyl salicylate, iso-propyl palmitate, ethyl laurate, 2-ethyl-hexyl pelargonate, iso-propyl isostearate, butyl laurate, benzyl benzoate, butyl benzoate, hexyl laurate, ethyl caprate, ethyl caprylate, butyl stearate, benzyl salicylate, 2-hydroxypropanoic acid, 2-hyroxyoctanoic acid, dimethyl sulphoxide, N,N-dimethyl acetamide, N,N-dimethyl formamide, 2-pyrrolidone, 1-methyl-2-pyrrolidone, 5-methyl-2-pyrrolidone, 1,5-dimethyl-2-pyrrolidone, 1-ethyl-2-pyrrolidone, phosphine oxides, sugar esters, tetrahydrofurfural alcohol, **urea** , diethyl-m-toluamide, and, 1-dodecylazacycloheptan-2-one.

ACCESSION NUMBER: 2001:185480 USPATFULL
TITLE: Heterocyclic 2-substituted ketoamides
INVENTOR(S): McIver, John McMillan, Cincinnati, OH, United States
Degenhardt, Charles Raymond, Cincinnati, OH, United States
Eickhoff, David Joseph, Edgewood, KY, United States
PATENT ASSIGNEE(S): The Procter & Gamble Co., Cincinnati, OH, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6307049	B1	20011023
APPLICATION INFO.:	US 1999-400681		19990921 (9)

	NUMBER	DATE
PRIORITY INFORMATION:	US 1998-102449P	19980930 (60)
	US 1999-122925P	19990305 (60)
	US 1999-147279P	19990805 (60)
	US 1999-147313P	19990805 (60)
	US 1999-147280P	19990805 (60)
	US 1999-147278P	19990805 (60)
	US 1999-147276P	19990805 (60)
	US 1999-136996P	19990601 (60)
	US 1999-137024P	19990601 (60)
	US 1999-137022P	19990601 (60)
	US 1999-137023P	19990601 (60)
	US 1999-137052P	19990601 (60)
	US 1999-137063P	19990601 (60)
	US 1999-136958P	19990601 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: GRANTED
PRIMARY EXAMINER: Seaman, D. Margaret
LEGAL REPRESENTATIVE: Brown, Catherine U., Lewis, Len W., McDow-Dunham, Kelly L.
NUMBER OF CLAIMS: 11
EXEMPLARY CLAIM: 1
LINE COUNT: 1840
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L230 ANSWER 13 OF 51 USPATFULL
SUMM Interestingly, the immunosuppressive agents cyclosporin A and FK506 are known to invoke a prominent hypertrichotic side effect. See Iwabuchi et al., "Effects of Immunosuppressive Peptidyl-Prolyl cis-trans Isomerase (PPIase) Inhibitors, Cyclosporin A, FK506, **Ascomycin**, and Rapamycin, on Hair Growth Initiation in Mouse: Immunosuppression is not Required for New Hair Growth", Journal of Dermatological Science, Vol. 9, pp. 64-69 (1995); Yamamoto et al., "Hair Growth-Stimulating Effects of Cyclosporin A and FK506, Potent Immunosuppressants", Journal of

Dermatological Science, Vol. 7 (suppl.), pp. S47-S54 (1994); Yamamoto et al., "Stimulation of Hair Growth by Topical Application of FK506, a Potent Immunosuppressive Agent", Journal of Investigational Dermatology, Vol. 102, pp. 160-164 (1994); Jiang et al., "Induction of Anagen in Telogen Mouse Skin by Topical Application of FK506, a Potent Immunosuppressant", Journal of Investigational Dermatology, Vol. 104, pp. 523-525 (1995); McElwee et al., "Topical FK506: A Potent Immunotherapy for Alopecia Areata? Studies Using the Dundee Experimental Bald Rat Model", British Journal of Dermatology, Vol. 137, pp. 491-497 (1997); Maurer et al., "Hair Growth Modulation by Topical Immunophilin Ligands", American Journal of Pathology, Vol. 150, No. 4, pp. 1433-1441 (1997); and Paus et al., "Hair Growth Control by Immunosuppression", Arch. Dermatol. Res., Vol. 288, pp. 408-410 (1996). However, use of these compounds as hair growth actives may not be desirable due to their striking potency as immunosuppressive agents.

DETD Other classes of optional hair growth stimulants for use herein include flavinoids, **ascomycin** derivatives and analogs, histamine antagonists such as diphenhydramine hydrochloride, other triterpenes such as oleanolic acid and ursolic acid and those described in U.S. Pat. No. 5,529,769, JP 10017431, WO 95/35103, U.S. Pat. No. 5,468,888, JP 09067253, WO 92/09262, JP 62093215, U.S. Pat. Nos. 5,631,282, 5,679,705, JP 08193094, saponins such as those described in EP 0,558,509 to Bonte et al., published Sep. 8, 1993 and WO 97/01346 to Bonte et al, published Jan. 16, 1997 (both of which are herein incorporated by reference in their entirety), proteoglycanase or glycosaminoglycanase inhibitors such as those described in U.S. Pat. No. 5,015,470, issued May 14, 1991, U.S. Pat. No. 5,300,284, issued Apr. 5, 1994 and U.S. Pat. No. 5,185,325, issued Feb. 9, 1993 (all of which are herein incorporated in their entirety by reference) estrogen agonists and antagonists, pseudoterins, cytokine and growth factor promoters, analogs or inhibitors such as interleukin1 inhibitors, interleukin-6 inhibitors, interleukin-10 promotors, and tumor necrosis factor inhibitors, vitamins such as vitamin D analogs and parathyroid hormone antagonists, Vitamin B12 analogs and panthenol, interfuron agonists and antagonists, hydroxyacids such as those described in U.S. Pat. No. 5,550,158, benzophenones, and hydantoin anticonvulsants such as phenytoin.

DETD Non-limiting examples of **penetration enhancers** which may be used in the compositions herein include, for example, 2-methyl propan-2-ol, propan-2-ol, ethyl-2-hydroxypropanoate, hexan-2,5-diol, POE(2) ethyl ether, di(2-hydroxypropyl) ether, pentan-2,4-diol, acetone, POE(2) methyl ether, 2-hydroxypropionic acid, 2-hydroxyoctanoic acid, propan-1-ol, 1,4-dioxane, tetrahydrofuran, butan-1,4-diol, propylene glycol dipelargonate, polyoxypropylene 15 stearyl ether, octyl alcohol, POE ester of oleyl alcohol, oleyl alcohol, lauryl alcohol, dioctyl adipate, dicapryl adipate, di-isopropyl adipate, di-isopropyl sebacate, dibutyl sebacate, diethyl sebacate, dimethyl sebacate, dioctyl sebacate, dibutyl suberate, dioctyl azelate, dibenzyl sebacate, dibutyl phthalate, dibutyl azelate, ethyl myristate, dimethyl azelate, butyl myristate, dibutyl succinate, didecyl phthalate, decyl oleate, ethyl caproate, ethyl salicylate, iso-propyl palmitate, ethyl laurate, 2-ethyl-hexyl pelargonate, iso-propyl isostearate, butyl laurate, benzyl benzoate, butyl benzoate, hexyl laurate, ethyl caprate, ethyl caprylate, butyl stearate, benzyl salicylate, 2-hydroxypropanoic acid, 2-hyroxyoctanoic acid, dimethyl sulphoxide, N,N-dimethyl acetamide, N,N-dimethyl formamide, 2-pyrrolidone, 1-methyl-2-pyrrolidone, 5-methyl-2-pyrrolidone, 1,5-dimethyl-2-pyrrolidone, 1-ethyl-2-pyrrolidone, phosphine oxides, sugar esters, tetrahydrofurfural alcohol, **urea**, diethyl-m-toluamide, and, 1-dodecylazacycloheptan-2-one.

ACCESSION NUMBER: 2001:173595 USPATFULL
TITLE: 2-substituted heterocyclic sulfonamides
INVENTOR(S): McIver, John McMillan, Cincinnati, OH, United States
Degenhardt, Charles Raymond, Cincinnati, OH, United States

	Eickhoff, David Joseph, Edgewood, KY, United States
PATENT ASSIGNEE(S):	The Procter & Gamble Co., Cincinnati, OH, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6300341	B1	20011009
APPLICATION INFO.:	US 1999-400679		19990921 (9)

	NUMBER	DATE
PRIORITY INFORMATION:	US 1998-102539P	19980930 (60)
	US 1999-122925P	19990305 (60)
	US 1999-147279P	19990805 (60)
	US 1999-147313P	19990805 (60)
	US 1999-147280P	19990805 (60)
	US 1999-147278P	19990805 (60)
	US 1999-147276P	19990805 (60)
	US 1999-136996P	19990601 (60)
	US 1999-137024P	19990601 (60)
	US 1999-137022P	19990601 (60)
	US 1999-137023P	19990601 (60)
	US 1999-137052P	19990601 (60)
	US 1999-137063P	19990601 (60)
	US 1999-136958P	19990601 (60)

DOCUMENT TYPE:	Utility
FILE SEGMENT:	GRANTED
PRIMARY EXAMINER:	Dentz, Bernard
LEGAL REPRESENTATIVE:	McDow-Dunham, Kelly, Brown, Catherine U., Miller, Steven W.
NUMBER OF CLAIMS:	19
EXEMPLARY CLAIM:	1
LINE COUNT:	1731

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L230 ANSWER 14 OF 51 USPATFULL

SUMM [0008] Interestingly, the immunosuppressive agents cyclosporin A and FK506 are known to invoke a prominent hypertrichotic side effect. See Iwabuchi et al., "Effects of Immunosuppressive Peptidyl-Prolyl cis-trans Isomerase (PPIase) Inhibitors, Cyclosporin A, FK506, **Ascomycin**, and Rapamycin, on Hair Growth Initiation in Mouse: Immunosuppression is not Required for New Hair Growth", Journal of Dermatological Science, Vol. 9, pp. 64-69 (1995); Yamamoto et al., "Hair Growth-Stimulating Effects of Cyclosporin A and FK506, Potent Immunosuppressants", Journal of Dermatological Science, Vol. 7 (suppl.), pp. S47-S54 (1994); Yamamoto et al., "Stimulation of Hair Growth by Topical Application of FK506, a Potent Immunosuppressive Agent", Journal of Investigational Dermatology, Vol. 102, pp. 160-164 (1994); Jiang et al., "Induction of Anagen in Telogen Mouse Skin by Topical Application of FK506, a Potent Immunosuppressant", Journal of Investigational Dermatology, Vol. 104, pp. 523-525 (1995); McElwee et al., "Topical FK506: A Potent Immunotherapy for Alopecia Areata? Studies Using the Dundee Experimental Bald Rat Model", British Journal of Dermatology, Vol. 137, pp. 491-497 (1997); Maurer et al., "Hair Growth Modulation by Topical Immunophilin Ligands", American Journal of Pathology, Vol. 150, No. 4, pp. 1433-1441 (1997); and Paus et al., "Hair Growth Control by Immunosuppression", Arch. Dermatol. Res., Vol. 288, pp. 408-410 (1996). However, use of these compounds as hair growth actives may not be desirable due to their striking potency as immunosuppressive agents.

SUMM [0174] Other classes of optional hair growth stimulants for use herein include flavinoids, **ascomycin** derivatives and analogs, histamine antagonists such as diphenhydramine hydrochloride, other triterpenes such as oleanolic acid and ursolic acid and those described

in U.S. Pat. No. 5,529,769, JP 10017431, WO 95/35103, U.S. Pat. No. 5,468,888, JP 09067253, WO 92/09262, JP 62093215, U.S. Pat. No. 5,631,282, U.S. Pat. No. 5,679,705, JP 08193094, saponins such as those described in EP 0,558,509 to Bonte et al., published Sep. 8, 1993 and WO 97/01346 to Bonte et al, published Jan. 16, 1997 (both of which are herein incorporated by reference in their entirety), proteoglycanase or glycosaminoglycanase inhibitors such as those described in U.S. Pat. No. 5,015,470, issued May 14, 1991, U.S. Pat. No. 5,300,284, issued Apr. 5, 1994 and U.S. Pat. No. 5,185,325, issued Feb. 9, 1993 (all of which are herein incorporated in their entirety by reference) estrogen agonists and antagonists, pseudoterins, cytokine and growth factor promoters, analogs or inhibitors such as interleukin 1 inhibitors, interleukin-6 inhibitors, interleukin-10 promotors, and tumor necrosis factor inhibitors, vitamins such as vitamin D analogs and parathyroid hormone antagonists, Vitamin B12 analogs and panthenol, interfuron agonists and antagonists, hydroxyacids such as those described in U.S. Pat. No. 5,550,158, benzophenones, and hydantoin anticonvulsants such as phenytoin.

SUMM [0176] Non-limiting examples of **penetration enhancers** which may be used in the compositions herein include, for example, 2-methyl propan-2-ol, propan-2-ol, ethyl-2-hydroxypropanoate, hexan-2,5-diol, POE(2) ethyl ether, di(2-hydroxypropyl) ether, pentan-2,4-diol, acetone, POE(2) methyl ether, 2-hydroxypropionic acid, 2-hydroxyoctanoic acid, propan-1-ol, 1,4-dioxane, tetrahydrofuran, butan-1,4-diol, propylene glycol dipelargonate, polyoxypropylene 15 stearyl ether, octyl alcohol, POE ester of oleyl alcohol, oleyl alcohol, lauryl alcohol, dioctyl adipate, dicapryl adipate, di-isopropyl adipate, di-isopropyl sebacate, dibutyl sebacate, diethyl sebacate, dimethyl sebacate, dioctyl sebacate, dibutyl suberate, dioctyl azelate, dibenzyl sebacate, dibutyl phthalate, dibutyl azelate, ethyl myristate, dimethyl azelate, butyl myristate, dibutyl succinate, didecyl phthalate, decyl oleate, ethyl caproate, ethyl salicylate, iso-propyl palmitate, ethyl laurate, 2-ethyl-hexyl pelargonate, iso-propyl isostearate, butyl laurate, benzyl benzoate, butyl benzoate, hexyl laurate, ethyl caprate, ethyl caprylate, butyl stearate, benzyl salicylate, 2-hydroxypropanoic acid, 2-hyroxyoctanoic acid, dimethyl sulphoxide, N,N-dimethyl acetamide, N,N-dimethyl formamide, 2-pyrrolidone, 1-methyl-2-pyrrolidone, 5-methyl-2-pyrrolidone, 1,5-dimethyl-2-pyrrolidone, 1-ethyl-2-pyrrolidone, phosphine oxides, sugar esters, tetrahydrofurfal alcohol, **urea**, diethyl-m-toluamide, and, 1-dodecylazacycloheptan-2-one.

ACCESSION NUMBER: 2001:128907 USPATFULL
TITLE: Heterocyclic 2-substituted ketoamides
INVENTOR(S): McIver, John McMillan, Cincinnati, OH, United States
Degenhardt, Charles Raymond, Cincinnati, OH, United States
Eickhoff, David Joseph, Edgewood, KY, United States

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2001012895	A1	20010809
APPLICATION INFO.:	US 2000-736540	A1	20001213 (9)

RELATED APPLN. INFO.: Division of Ser. No. US 1999-400681, filed on 21 Sep 1999, ABANDONED

	NUMBER	DATE
PRIORITY INFORMATION:	US 1998-102449P	19980930 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: Catherine U. Brown - Box 633, The Procter & Gamble Company, Miami Valley Laboratories, P. O. Box 538707,

Cincinnati, OH, 45253-8707
NUMBER OF CLAIMS: 25
EXEMPLARY CLAIM: 1
LINE COUNT: 1794
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L230 ANSWER 15 OF 51 USPATFULL

SUMM Non-limiting examples of **penetration enhancers** which may be used as optional activity **enhancers** herein include, for example, 2-methyl propan-2-ol, propan-2-ol, ethyl-2-hydroxypropanoate, hexan-2,5-diol, POE(2) ethyl ether, di(2-hydroxypropyl) ether, pentan-2,4-diol, acetone, POE(2) methyl ether, 2-hydroxypropionic acid, 2-hydroxyoctanoic acid, propan-1-ol, 1,4-dioxane, tetrahydrofuran, butan-1,4-diol, propylene glycol dipelargonate, polyoxypropylene 15 stearyl ether, octyl alcohol, POE ester of oleyl alcohol, oleyl alcohol, lauryl alcohol, dioctyl adipate, dicapryl adipate, di-isopropyl adipate, di-isopropyl sebacate, dibutyl sebacate, diethyl sebacate, dimethyl sebacate, dioctyl sebacate, dibutyl suberate, dioctyl azelate, dibenzyl sebacate, dibutyl phthalate, dibutyl azelate, ethyl myristate, dimethyl azelate, butyl myristate, dibutyl succinate, didecyl phthalate, decyl oleate, ethyl caproate, ethyl salicylate, iso-propyl palmitate, ethyl laurate, 2-ethyl-hexyl pelargonate, iso-propyl isostearate, butyl laurate, benzyl benzoate, butyl benzoate, hexyl laurate, ethyl caprate, ethyl caprylate, butyl stearate, benzyl salicylate, 2-hydroxypropanoic acid, 2-hyroxyoctanoic acid, methylsulfoxide, N,N-dimethyl acetamide, N,N-dimethyl formamide, 2-pyrrolidone, 1-methyl-2-pyrrolidone, 5-methyl-2-pyrrolidone, 1,5-dimethyl-2-pyrrolidone, 1-ethyl-2-pyrrolidone, phosphine oxides, sugar esters, tetrahydrofurfural alcohol, **urea**, diethyl-m-toluamide,, 1-dodecylazacycloheptan-2-one and those described in U.S. Pat. No. 5,015,470, issued May 14, 1991 and U.S. Pat. No. 5,496,827, issued Jul. 15, 1994 (both of which are herein incorporated in its entirety by reference).

SUMM Other classes of optional activity enhancers for use herein include flavinoids, **ascomycin** derivatives and analogs, histamine antagonists such as diphenhydramine hydrochloride, other triterpenes such as oleanolic acid and ursolic acid and those described in U.S. Pat. No. 5,529,769, JP 10017431, WO 95/35103, U.S. Pat. No. 5,468,888, JP 09067253, WO 92/09262, JP 62093215, U.S. Pat. No. 5,631,282, U.S. Pat. No. 5,679,705, JP 08193094, saponins such as those described in EP 0,558,509 to Bonte et al, published Sep. 8, 1993 and WO 97/01346 to Bonte et al, published Jan. 16, 1997 (both of which are herein incorporated by reference in their entirety), proeoglycanase or glycosaminoglycanase inhibitors such as those described in U.S. Pat. No. 5,015,470, issued May 14, 1991, U.S. Pat. No. 5,300,284, issued Apr. 5, 1994 and U.S. Pat. No. 5,185,325, issued Feb. 9, 1993 (all of which are herein incorporated in their entirety by reference) estrogen agonists and antagonists, pseudoterins, cytokine and growth factor promotors, analogs or inhibitors such as interleukin1 inhibitors, interleukin-6 inhibitors, interleukin-10 promoters, and tumor necrosis factor inhibitors, vitamins such as vitamin D analogs and parathyroid hormone antagonists, Vitamin B12 analogs and panthenol, interfuron agonists and antagonists, hydroxyacids such as those described in U.S. Pat. No. 5,550,158, benzophenones and hydantoin anticonvulsants such as phenytoin.

ACCESSION NUMBER: 2000:128394 USPATFULL
TITLE: Method for regulating hair growth
INVENTOR(S): Bradbury, Barton James, West Chester, OH, United States
Soper, Shari Joy, Cincinnati, OH, United States
Kaczvinsky, Jr., Joseph Robert, Cincinnati, OH, United States
Bailey, Dorothy Limerick, Fairfield, OH, United States
Gale, Celeste Dawn, Hamilton, OH, United States

PATENT ASSIGNEE(S): The Procter & Gamble Company, Cincinnati, OH, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6124362		20000926
APPLICATION INFO.:	US 1999-353408		19990715 (9)

	NUMBER	DATE
PRIORITY INFORMATION:	US 1998-93285P	19980717 (60)
	US 1999-122925P	19990305 (60)
	US 1998-102449P	19980930 (60)
	US 1998-102448P	19980930 (60)
	US 1998-102539P	19980930 (60)
	US 1998-102458P	19980930 (60)
	US 1998-102437P	19980930 (60)
	US 1999-136996P	19990601 (60)
	US 1999-137024P	19990601 (60)
	US 1999-137022P	19990601 (60)
	US 1999-137023P	19990601 (60)
	US 1999-137052P	19990601 (60)
	US 1999-137063P	19990601 (60)
	US 1999-136958P	19990601 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Jarvis, William R.A.
ASSISTANT EXAMINER: Kim, Vickie
LEGAL REPRESENTATIVE: Rosnell, Tara M., Hilton, Michael E., Rasser, Jacobus C.
NUMBER OF CLAIMS: 10
EXEMPLARY CLAIM: 1
LINE COUNT: 1662
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

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L48 ANSWER 10 OF 59 USPATFULL
TI Topical compositions comprising **ascomycins**
AB The present invention relates to a composition for topical administration comprising an **ascomycin** and a carrier vehicle vehicle comprising means to retain water in the outer skin layer and means to hinder water evaporating from the skin.
SUMM [0001] This invention relates to topical compositions containing **ascomycins** for treatment of skin disorders, e.g. subacute and chronic inflammatory and hyperproliferative skin diseases, e.g. atopic dermatitis, vitiligo, psoriasis, lichenified skin diseases, e.g. lichen planus, a lichenified form of atopic dermatitis.

SUMM [0002] **Ascomycins** have a variety of useful pharmacological actions, e.g. immunosuppression, and which may be administered topically. However, inter alia because of their physicochemical properties, e.g. high molecular weight and lipophilicity the **ascomycins** have posed problems for topical administration.

SUMM [0003] Skin disorders also present difficulties in treatment, particularly lichenified skin diseases, e.g. psoriasis, where the skin is hyperproliferated and the skin barrier function and skin lipid composition may have changed. Topical compositions for use in lichenified skin diseases, e.g. psoriasis, containing an **ascomycin** present particular difficulties.

SUMM [0005] In one aspect this invention provides a composition for topical administration of an **ascomycin** which composition comprises a carrier vehicle comprising

SUMM [0008] The **ascomycin** is hereafter referred to as active agent. Under "**ascomycin**" is to be understood **ascomycin** itself or a derivative, antagonist, agonist or analogue thereof, e.g. a compound of the FK 506 class.

SUMM [0011] It is also known (for example from EP 315978 and EP 474126) that **ascomycin** derivatives such as macrolactam compounds of the FK506 class are particularly useful in the topical treatment of inflammatory and hyperproliferative skin diseases and of cutaneous manifestations of immunologically-mediated illnesses.

SUMM [0012] Thus examples of **ascomycin** derivatives suitable for use in the present invention include FK506; 33-epi-chloro-33-desoxy-**ascomycin** as disclosed in Example 66a in EP 427 680 (hereafter referred to as Compound A);

SUMM [0014] {1 R,5Z,9S,12S-[1E-(1R,3R,4R)],13R,14S,17R,18E,21S,23S,24R,25S,27R}17-ethyl-1,14-dihydroxy-12-[2-(4-hydroxy-3-methoxy-cyclohexyl)-1-methylvinyl]-23,25-dimethoxy-13,19,21,27-tetramethyl-11,28-dioxa-4-aza-tricyclo[22.3.1.0(4,9)]octacosa-5,18-diene-2',3,10,16-tetraone, also known as 5,6-dehydro-**ascomycin** as disclosed in Example 8 in EP 626 385 (hereafter referred to as Compound C);

SUMM [0016] 32-O-(1-hydroxyethylindol-5-yl)**ascomycin**, also known as Indolyl-ASC or L-732 531 as disclosed in Transplantation 65 (1998) 10-18,18-26, on page 11, FIG. 1 (hereafter referred to as Compound E); and

SUMM [0017] (32-deoxy-32-epi-N1-tetrazolyl)**ascomycin**, also known as ABT-281 as disclosed in J. Inv. Derm. 112 (May 1999), 729-738, on page 730, FIG. 1 (hereafter referred to as Compound F).

SUMM [0018] FK 506, Compounds A, B, C, D, E, and F are preferred **ascomycins**, particularly preferred are Compounds A, B, and C,

especially Compound A.

SUMM [0021] Preferably the active agent may be used in a micronized form. The suspension may contain particles of **ascomycin** of from 5, e.g. from 10, to about 90, preferably to about 25 microns in diameter. The particles of the **ascomycin** may be produced in conventional manner, e.g. by grinding or milling.

SUMM [0032] Preferably the **ascomycin** and the means to retain water in the outer skin layer are present in a weight ratio of 0.05 to 3:0.1 to 20, more preferably in a weight ratio of 0.1 to 2:5 to 15, even more preferably in a weight ratio of 0.4 to 1: about 5.

SUMM [0041] Preferably the **ascomycin** and the hydrocarbon are present in a weight ratio of 0.05 to 3:70 to 95, more preferably in a weight ratio of 0.1 to 2 :75 to 90, even more preferably in a weight ratio of 0.4 to 1: about 85.

SUMM [0047] (iii) liquid means, e.g. lipophilic solvents and/or polar solvents, to solubilize **ascomycin**.

SUMM [0058] The liquid means to solubilize the **ascomycin** may consist of one component or a mixture of components. Preferably the liquid means may be isopropyl myristate. The liquid means may be present in amount of from 1 to 20%, preferably from 2 to 15%, more preferably about 5% by weight based on the total weight of the composition.

SUMM [0061] Preferably the **ascomycin** and the liquid means are present in a weight ratio of 0.05 to 3:1 to 15, more preferably in a weight ratio of 0.1 to 2 :2 to 10, even more preferably in a weight ratio of 0.4 to 1: about 5.

SUMM [0062] Preferably the **ascomycin**, the **urea**, the **hydrocarbon** and the liquid means, when present, are present in a weight ratio of 0.05 to 3:0.1 to 20:70 to 95:1 to 15, more preferably in a weight ratio of 0.1 to 2:5 to 15:75 to 90:2 to 10, even more preferably in a weight ratio of 0.4 to 1: about 5: about 85 : about 5.

SUMM [0104] In yet another aspect the present invention provides the use of a carrier vehicle as defined above to enhance penetration of an **ascomycin** through human skin.

SUMM [0107] For example, the composition of the invention may be obtained by suspending the **ascomycin** and the **urea** in a mixture of liquid **hydrocarbons** and the lipophilic or polar solvent. Solid **hydrocarbons** may be mixed into the suspension in conventional manner. Alternatively, the composition of the invention may be obtained by suspending the **ascomycin** and the **urea** in a mixture of liquid **hydrocarbons**, solid **hydrocarbons** and the solvent as conventional. Other, e.g conventional, excipients may be added at the appropriate time. The utility of the compositions according to the invention can be observed in standard clinical tests such as the test set out below.

SUMM [0111] The exact amount of the **ascomycin** and of the composition to be administered depends on several factors, for example the desired duration of treatment and the rate of release of the **ascomycin**. Satisfactory results are obtained in larger mammals, e.g. humans, with the local application over the area to be treated of a 0.1 to 2% by weight, preferably 1% by weight, concentration of the **ascomycin** once or several times a day (for example 2 to 5 times a day). In general the compositions may be applied to areas of skin as small as 1 cm.sup.2 to as large as 1 m.sup.2. Suitable skin loadings of the **ascomycins** fall within the range of from 0.001 mg/cm.sup.2

to about 3 mg/cm.sup.2, e.g. of from 0.1 mg/cm.sup.2 to about 1 mg/cm.sup.2.

DETD [0116] An ointment is prepared having the following composition (amounts in g)

Compound A	1
Urea	10
Petrolatum	39
Wax, microcrystalline	10
Paraffin, liquid	35
Isopropyl myristate	5
Total	100

DETD [0117] The composition is prepared by suspending Compound A and **urea** in liquid **paraffin** and isopropylmyristate and heating to about 70.degree. C. White **petrolatum** and **microcrystalline wax** are heated to about 85.degree. C., cooled to about 70.degree. C. and slowly added to the **ascomycin** mixture. The composition is then cooled to room temperature. An ointment is formed.

DETD [0120] An ointment is prepared having the same composition as in Example 1.1. The composition is prepared by heating liquid **paraffin**, **microcrystalline wax**, white **petrolatum** and isopropylmyristate to about 85.degree. C., cooling to about 70.degree. C. and suspending Compound A and **urea** in the mixture obtained. The composition is then cooled to room temperature. An ointment is formed.

	Example 2	3	4	5	6	7
Compound A	1	0.1	1	2	2	1.5
Means to retain water in the outer skin layer						
Urea	5	0.1	10	7.5	10	2
Means to hinder water evaporating from the skin						
Petrolatum	44	99.8	84	85.5	86	73
Wax, microcryst.	10	--	--	--	--	--
Paraffin, liquid	35	--	--	--	--	20
Liquid means						
Isopropyl myristate	5	--	--	--	--	--
Diisopropyl adipate	--	--	5	--	--	--
Oleyl erucate	--	--	--	--	--	3.5
Oleyl alcohol	--	--	--	5	--	--
Propylene glycol	--	--	--	--	2	--
Total	100	100	100	100	100	100

	Example 8	9	10	11	12	13
Compound A	1	1	0.2	0.5	0.5	1
Means to retain water in the outer skin layer						
Urea	--	--	--	10	3	10
Sodium lactate	5	--	--	--	--	--
Sodium chloride	--	15	--	--	3	--
Sodium 2-**pyrrolidone**-5-**carboxylate**	--	--	2	--	--	--
Means to hinder water evaporating from the skin						
Petrolatum	69	--	75.8	61.5	87.5	87
Wax, microcryst.	--	--	5	2	--	--
Paraffin, liquid	15	--	15	--	--	--

Plastibase .RTM.	--	84	--	--	--	--
Liquid means						
Oleyl oleate	--	--	--	--	--	7
Oleyl alcohol	--	--	--	10	--	--
Miglyol .RTM. 812	--	--	2	--	--	--
Propylene glycol	--	--	--	5	--	--
Dimethyl isosorbide	--	--	--	--	2	--
Thickeners						
Cetyl alcohol	5	--	--	--	--	--
Stearyl alcohol	5	--	--	--	--	--
Glycerol monostearate	--	--	--	5	--	--
Aerosil .RTM. 200	--	--	--	4	--	--
Emulsifiers						
Sorbitan sesquioleate	--	--	--	--	5	5
Water	--	--	--	2	--	--
Total	100	100	100	100	100	100

CLM What is claimed is:

1. A composition for topical administration of an **ascomycin** for treatment of skin disorders which composition comprises a carrier vehicle comprising (i) means to retain water in the outer skin layer comprising a urea, an inorganic salt, or a carboxylic acid, and (ii) means to hinder water evaporating from the skin.

2. A composition for topical administration of 33-epi-chloro-33-desoxy-**ascomycin** which composition comprises a carrier vehicle comprising (i) means to retain water in the outer skin layer, and (ii) means to hinder water evaporating from the skin.

6. A composition as claimed in any preceding claim wherein the carrier vehicle further comprises (iii) liquid means to solubilize **ascomycin**.

9. A composition as claimed in any preceding claim wherein the **ascomycin** is present in an amount of 0.1 to 2.0% by weight of the composition.

11. Use of the carrier vehicle as claimed in claim 1or 2 to enhance penetration of an **ascomycin** through human skin.

ACCESSION NUMBER: 2001:229697 USPATFULL

TITLE: Topical compositions comprising **ascomycins**

INVENTOR(S): Kriwet, Katrin, Grenzach-Wyhlen, Germany, Federal Republic of
Ledergerber, Dorothea, Lorrach, Germany, Federal Republic of
Riedl, Jutta, Grenzach, Germany, Federal Republic of

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2001051650	A1	20011213
APPLICATION INFO.:	US 2001-871367	A1	20010531 (9)

RELATED APPLN. INFO.: Continuation of Ser. No. WO 1999-EP9351, filed on 1 Dec 1999, UNKNOWN

	NUMBER	DATE
PRIORITY INFORMATION:	GB 1998-2665	

L48 ANSWER 3 OF 59 USPATFULL

SUMM The excipients that can be used in the formulations of the invention are typically compounds whose inclusion is allowed by the Cosmetic, Toiletry and Fragrance Association and that increase penetration of or assist in the delivery of therapeutic molecules across the stratum corneum permeability barrier. There are many of these penetration enhancing molecules known to those trained in the art of topical formulations. Examples are humectants such as **urea** and glycols, including propylene glycol and **polyethylene** glycol, alcohols such as ethanol, fatty acids such as oleic and linoleic acids, alpha-hydroxy acids such as **lactic** acid and **glycolic** acids, surfactants such as isopropyl myristate and sodium lauryl sulfate, pyrollidones, glycerol monolaurate, oleyl alcohol, sulfoxides, terpenes, phenolics including menthol and resorcinol, amines, amino acids, alkanes, alkanols, water and Orgelase. Many of these compounds have recently been shown to produce a measurable anatomic and/or physiologic change, including anti-aging effects, in the keratinizing epithelia giving rise to the term "cosmeceuticals". This class of compounds includes alpha-, beta- and gamma-hydroxy acids, chloracetic acids, carboxylic acids, phenolics, vitamins A, C, and E, catechins and other antioxidants, amino acids, corticosteroids and nonsteroidal antiinflammatory agents and their lactones, esters, amides, salts, analogs, isomers, and derivatives thereof. The preferred cosmeceutical compounds incorporated into this invention include salicylic, epigallocatechin gallate, ancic, mandelic, benzoic, acetic, formic, fumaric, oxalic, mucic, propanoic, succinic, glyceric, linoleic, trichloroacetic, saccharic, tartaronic, galactonic, galacturonic, glucuronic, tetra-hydroxypentanoic and hexahydroxy heptanoic, malic, citric, tartaric, pyruvic, **glycolic**, **lactic**, linolenic, stearic, palmitic, myristic, oleic, azelaic and kojic acids, gluconolactone, resorcinol, hexylresorcinol, methylresorcinol, retinol, retinaldehyde, tocopherol, alanine, glycine, serine, arginine, thymol, phenol, 4-hydroxy valeric acid, menthol, eucalyptol, and trichloroacetic, bichloroacetic, and nochloroacetic acids. The nutrients include vitamins, minerals, fats, proteins, carbohydrates, water and oxygen. The proceeding list is for examples only and is not intended to be all inclusive of known cosmeceutical compounds.

SUMM The FDA approved prescription therapeutic compounds that can be included in the formulations of the invention for treating epithelial diseases such as those described herein include, for example: nonsteroidal antiinflammatory agents, immunosuppressives, corticosteroids, antimicrobials, chemotherapeutics, vitamin D analogs and retinoids. The preferred compounds include dapsone, meselamine, sulfasalazine, sulfacetamide, silver sulfadiazine, colchicine, calcipotriene, calcipitriol, ibuprofen, flubiprofen, ketoprofen, indomethacin, piroxicam, ketorolac, chloroquine, quinacrine, hydroxy-chloroquine, triamcinolone, flurandrenolide, prednicarbate, halcinonide, alclometasone, hydocortisone, desonide, amcinonide, fluocinonide, diflorasone, betamethasone, dexamethasone, desoximetasone, fluticasone, mometisone, fluocinolone, cyclosporin, **ascomycin**, rapamycin, tacrolimus, erythromycin, clindamycin, lincomycin, vancomycin, ciprofloxacin, ofloxacin, norfloxacin, doxycycline, meclomycin, tetracycline, minocycline, methotrexate, mercaptopurine, hydroxyurea, azathioprine, bleomycin, cyclophosphamide, 5-fluorouracil, cis-platinin, chlorambucil, nitrogen mustard, carmustine, doxorubicin, daonorubicin, anthralin, transretinoic acid, etretinate, acitretin, isotretinoin, adapalene, tazarotene, metronidazole, terbenifine, ketoconazole, oxiconazole, sulconozole, fluconazole, itraconazole, griseofulvin, cicloprix, clotrimizole, econazole, miconazole, azelaic acid, benzoyl peroxide, gramicidin, bacitracin, polymixin, nystatin, tobramycin, gentamicin, chloramphenicol, amphotericin, dicloxacillin, carbenicillin, ampicillin, amoxicillin, amoxicillin-clavulanate, cephalexin, cefixime,

cefuroxime, cephadroxil, and mupirocin. The FDA over-the-counter monograph allowed therapeutic compounds for dandruff, psoriasis and seborrheic dermatitis include hydrocortisone, resorcinol, salicylic acid, and sulfur in addition to zinc pyrithione and selenium sulfide which are included in this invention. The preceding list of the approved prescription and OTC therapeutic compounds for epithelial diseases is for example only and is not intended to be all inclusive for the FDA-approved and FDA-monographed compounds.

ACCESSION NUMBER:	2002:304005 USPATFULL
TITLE:	Pyridine-thiols for treatment of a follicular dermatosis
INVENTOR(S):	Thornfeldt, Carl R., Nampa, ID, United States
PATENT ASSIGNEE(S):	Cellegy Pharmaceuticals, Inc., Foster City, CA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6482839	B1	20021119
APPLICATION INFO.:	US 1998-145822		19980902 (9)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. WO 1998-US11270, filed on 2 Jun 1998 Continuation-in-part of		

L48 ANSWER 2 OF 59 USPATFULL

SUMM Among the polyols which are useful as a vehicle herein are linear and branched chain alkyl polyhdyroxyl compounds. Preferred polyols include propylene glycol, sugars having up to about 12 carbons atoms, sugar alcohols having up to about 12 carbon atoms, and mixtures thereof, glycerin, polypropylene glycols, **polyethylene** glycols, ethyl hexane diol, hexylene glycols, **ureas** and mixtures thereof.

SUMM Specific examples of useful polyols include materials such as **urea**; guanidine; **glycolic** acid and glycolate salts (e.g. ammonium and quaternary alkyl ammonium); **lactic** acid and **lactate** salts (e.g. ammonium and quaternary alkyl ammonium); sucrose, fructose, glucose, eruthrose, erythritol, sorbitol, mannitol, glycerol, hexanetriol, propylene glycol, butylene glycol, hexylene glycol, and the like; **polyethylene** glycols such as PEG-2, PEG-3, PEG-30, PEG-50, polypropylene glycols such as PPG-9, PPG-12, PPG-15, PPG-17, PPG-20, PPG-26, PPG-30, PPG-34; alkoxylated glucose; hyaluronic acid; and mixtures thereof. Also useful are materials such as aloe vera in any of its variety of forms (e.g., aloe vera gel), chitin, starch-grafted sodium polyacrylates such as Sanwet (RTM) IM-1000, IM-1500, and IM-2500 (available from Celanese Superabsorbent Materials, Portsmouth, Va.); **lactamide** monoethanolamine; acetamide monoethanolamine; and mixtures thereof. Also useful are propoxylated glycerols as described in propoxylated glycerols described in U.S. Pat. No. 4,976,953, to Orr et al., issued Dec. 11, 1990, which is incorporated by reference herein in its entirety.

SUMM wherein R.sub.1, is selected from an alkyl group having from about 12 to about 18 carbon atoms, or aromatic, aryl or alkaryl groups having from about 12 to about 18 carbon atoms; R.sub.2, R.sub.3, and R.sub.4 are independently selected from hydrogen, an alkyl group having from about 1 to about 18 carbon atoms, or aromatic, aryl or alkaryl groups having from about 12 to about 18 carbon atoms; and X is an anion selected from chloride, bromide, iodide, acetate, phosphate, nitrate, sulfate, methyl sulfate, ethyl sulfate, tosylate, **lactate**, citrate, glycolate, and mixtures thereof. Additionally, the alkyl groups can also contain ether linkages, or hydroxy or amino group substituents (e.g., the alkyl groups can contain **polyethylene** glycol and polypropylene glycol moieties).

SUMM Other classes of optional activity enhancers for use herein include flavinoids, **ascomycin** derivatives and analogs, histamine antagonists such as diphenhydramine hydrochloride, other triterpenes such as oleanolic acid and ursolic acid and those described in U.S. Pat. No. 5,529,769, JP 10017431, WO 95/35103, U.S. Pat. No. 5,468,888, JP 09067253, WO 92/09262, JP 62093215, U.S. Pat. No. 5,631,282, U.S. Pat. No. 5,679,705, JP 08193094, saponins such as those described in EP 0,558,509 to Bonte et al, published Sep. 8, 1993 and WO 97/01346 to Bonte et al, published Jan. 16, 1997 (both of which are herein incorporated by reference in their entirety), proeoglycanase or glycosaminoglycanase inhibitors such as those described in U.S. Pat. No. 5,015,470, issued May 14, 1991, U.S. Pat. No. 5,300,284, issued Apr. 5, 1994 and U.S. Pat. No. 5,185,325, issued Feb. 9, 1993 (all of which are herein incorporated in their entirety by reference) estrogen agonists and antagonists, pseudoterins, cytokine and growth factor promoters, analogs or inhibitors such as interleukin1 inhibitors, interleukin-6 inhibitors, interleukin-10 promoters, and tumor necrosis factor inhibitors, vitamins such as vitamin D analogs and parathyroid hormone antagonists, Vitamin B12 analogs and panthenol, interfuron agonists and antagonists, hydroxyacids such as those described in U.S. Pat. No. 5,550,158, benzophenones and hydantoin anticonvulsants such as phenytoin.

ACCESSION NUMBER: 2002:304016 USPATFULL
TITLE: Compositions which contain triterpenes for regulating hair growth
INVENTOR(S): Bradbury, Barton James, West Chester, OH, United States
Soper, Shari Joy, Cincinnati, OH, United States
Kaczvinsky, Jr., Joseph Robert, Cincinnati, OH, United States
Bailey, Dorothy Limerick, Fairfield, OH, United States
Gale, Celeste Dawn, Hamilton, OH, United States
PATENT ASSIGNEE(S): The University of Texas Southwestern Medical Center, Dallas, TX, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6482857	B1	20021119
APPLICATION INFO.:	US 1999-353409		19990715 (9)

	NUMBER	DATE
PRIORITY INFORMATION:	US 1998-93192P	19980717 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: GRANTED
PRIMARY EXAMINER: Cook, Rebecca
LEGAL REPRESENTATIVE: Michael Best & Friedrich LLP
NUMBER OF CLAIMS: 4
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 0 Drawing Figure(s); 0 Drawing Page(s)
LINE COUNT: 1503
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

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DETD . . . to novel chemical compounds having immunomodulatory activity, and in particular to macrolide immunosuppressants. More particularly, the invention relates to semisynthetic analogs of **ascomycin** and FK-506, to means for their preparation, to pharmaceutical compositions containing such compounds and to methods of treatment employing the same.

FR-900520, also known as **ascomycin**, has been previously disclosed by Arai et al. in U.S. Patent No. 3,244,592, issued April 5, 1966, where the compound is described as an antifungal agent. Monaghan, R.L., et al., on the other hand, describe the use of **ascomycin** as an immunosuppressant in European Patent Application No. 323865, published July 12, 1989.

. . .

processes for the preparation of these compounds; to synthetic intermediates useful in the preparations of these and other immunomodulator derivatives of **ascomycin**; to methods of formulating pharmaceutical compositions comprising these compounds; and to a method of immunomodulatory treatment of a human or veterinary subject.

. . .

to use. Examples of suitable aqueous and nonaqueous carriers, diluents, solvents or vehicles include water, ethanol, polyols (such as glycerol, propylene glycol, **polyethylene** glycol, and the like), carboxymethylcelulose and suitable mixtures thereof, vegetable oils (such as olive oil), and injectable organic esters such as. . .

. . .

for example, paraben, chlorobutanol, phenol sorbic acid, and the like. It may also be desirable to include isotonic agents such as sugars, **sodium chloride** and the like, Prolonged absorption of the injectable pharmaceutical form may be brought about by the inclusion of agents which delay absorption,. . .

. . .

the Budapest Treaty, under deposit No. NRRL 18488. The macrolide FR-900520 (European Patent Application 0 1 84162), also known as **ascomycin**, may be prepared in accordance to the published methods of (i) H. Hatanaka, M. lwami, T. Kino, T. Goto and M. Okuhara,. . .

. . .

and physico-chemical and biological characteristics. J. Antibiot., 1988. XL1(1 1), 1592-160 1; (iii) T. Arai, Y. Koyama, T. Suenaga and H. Honda, **Ascomycin**, An Antifungal Antibiotic. J. Antibiot., 1962. 15(231-2); and (iv) T. Arai in U.S. Patent No. 3,244,592. One or more of the. . .

. . .

methods well known to those skilled in the art. Alternatively, inversion may be accomplished without protection of the 32-hydroxyl group if **ascomycin**, FK506, or

similar compounds are treated with diethylaminosulfur trifluoride (DAST) in an inert solvent
such as methylene chloride.

In process (mmm), condensation of an alkyloxy or substituted alklyoxy carbonyl
hydrazine with **ascomycin**, FK506, similar compounds, or a
suitable derivative thereof
wherein the C-22 is available as a reactive center, including but not limited. . .

. . .
temperature range from -78 to 100 'C. Upon aqueous The compounds of the present invention are formed by modification of FR-900520
(**ascomycin**) or one of its congeners (such as FK-506, etc.) by
alkylation of the C
hydroxyl group with optional modifications exercised. . .

A solution of **ascomycin** (0.5 g, 0.63 mmol) in dichloromethane
(10 mL) containing
rhodium(II)acetate dimer Q mg) was refluxed while ethyl diazoacetate (66 uL, 0.63. . .

Ascomycin (0.5 g) provided title compound (0.1 g) in 20%
yield. mp. 65-72 'C; IR (CDC13)
3510,2930,1740,1695,1642,1450cm-1;13CNMR(125.NiHz)delta9.4,11 14.1,15.8, 16.21 20.4@ 21.17 24.11 24.51. . .

Ascomycin (10 g,.012 mol) was dissolved in distilled CH202
(50 rril). Rhodium (III) acetate
dimer (100 mg) was added and the rnixture. . .

. . .
combined and concentrated in vacuo to give the title compound
as a white foam (4.0 g, 45% yield based on recovered **ascomycin**
).

Silver (1) oxide (926 mg, 4.0 mmol) was added to **ascomycin**
(791 mg, 1.0 mmol) dissolved
in acetonitrile (0.8 mL) and ethyl iodoacetate (828 gL, 7.0 mmol). Mixture was stirred at
room temperature. . .

Foamed **ascomycin** (50g, 63 mmol, crystalline material
completely dissolved in methylene
chloride then concentrated to a dry foam) and benzyl iodoacetate (104g, 378. . .

(c) **Ascomycin** (2.5 g, 3.16 mmol) was foamed in a round bottom
flask (See Example
114). To it was added the nopol iodoacetate. . .

(c) **Ascomycin** (5 g, 6.3 mmol) was foamed in a round bottom
flask (See Example
1 14). To it was added the 4-nitrobenzyl. . .

. . .
chloride (500 mL); methylene chloride:acetonitrile (9: 1, 4OOmL);(6:1,3OOmL);(3:1,10OOmL);(1:1,5OOmL);(1:2,300niL). 100mLfractions werecollected. Fractionscontainingdesiredproduct(CH2CI2:CH3CN 3:1)werepooledand
concentrated invacuo to provide the title compound (2.86 g, 2.9 mmol). **Ascomycin** was
recovered in the later fractions (1.59 g, 2.0 mmol). MS (ESI) m1z: M+Na = 1007.

ACCESSION NUMBER: 1994021642 PCTFULL ED 20020513
TITLE (ENGLISH): MACROCYCLIC AMIDE AND UREA IMMUNOMODULATORS

TITLE (FRENCH):	IMMUNOREGULATEURS A l'AMIDE MACROCYCLIQUE ET A L'UREE
INVENTOR(S):	WAGNER, Rolf; LULY, Jay, R.; OR, Yat, Sun
PATENT ASSIGNEE(S):	ABBOTT LABORATORIES
LANGUAGE OF PUBL.:	English
DOCUMENT TYPE:	Patent
PATENT INFORMATION:	

NUMBER	KIND	DATE
WO-9421642	A1	19940929

DESIGNATED STATES	CA JP AT BE CH DE DK ES FR GB GR IE IT LU MC NL PT SE
APPLICATION INFO.:	WO 1994-US2692 A 19940311
PRIORITY INFO.:	US 1993-8/032,958 19930317
	US 1993-8/149,419 19931109

L230 ANSWER 2 OF 51 USPATFULL

SUMM Non-limiting examples of **penetration enhancers** which may be used as optional activity **enhancers** herein include, for example, 2-methyl propan-2-ol, propan-2-ol, ethyl-2-hydroxypropanoate, hexan-2,5-diol, POE(2) ethyl ether, di(2-hydroxypropyl) ether, pentan-2,4-diol, acetone, POE(2) methyl ether, 2-hydroxypropionic acid, 2-hydroxyoctanoic acid, propan- 1-ol, 1,4-dioxane, tetrahydrofuran, butan-1,4-diol, propylene glycol dipelargonate, polyoxypropylene 15 stearyl ether, octyl alcohol, POE ester of oleyl alcohol, oleyl alcohol, lauryl alcohol, dioctyl adipate, dicapryl adipate, di-isopropyl adipate, di-isopropyl sebacate, dibutyl sebacate, diethyl sebacate, dimethyl sebacate, dioctyl sebacate, dibutyl suberate, dioctyl azelate, dibenzyl sebacate, dibutyl phthalate, dibutyl azelate, ethyl myristate, dimethyl azelate, butyl myristate, dibutyl succinate, didecyl phthalate, decyl oleate, ethyl caproate, ethyl salicylate, iso-propyl palmitate, ethyl laurate, 2-ethyl-hexyl pelargonate, iso-propyl isostearate, butyl laurate, benzyl benzoate, butyl benzoate, hexyl laurate, ethyl caprate, ethyl caprylate, butyl stearate, benzyl salicylate, 2-hydroxypropanoic acid, 2-hyroxyoctanoic acid, methylsulfoxide, N,N-dimethyl acetamide, N,N-dimethyl formamide, 2-pyrrolidone, 1-methyl-2-pyrrolidone, 5-methyl-2-pyrrolidone, 1,5-dimethyl-2-pyrrolidone, 1-ethyl-2-pyrrolidone, phosphine oxides, sugar esters, tetrahydrofurfural alcohol, **urea**, diethyl-m-toluamide, 1-dodecylazacycloheptan-2-one and those described in U.S. Pat. No. 5,015,470, issued May 14, 1991 and U.S. Pat. No. 5,496,827, issued Jul. 15, 1994 (both of which are herein incorporated in its entirety by reference).

SUMM Other classes of optional activity enhancers for use herein include flavinoids, **ascomycin** derivatives and analogs, histamine antagonists such as diphenhydramine hydrochloride, other triterpenes such as oleanolic acid and ursolic acid and those described in U.S. Pat. No. 5,529,769, JP 10017431, WO 95/35103, U.S. Pat. No. 5,468,888, JP 09067253, WO 92/09262, JP 62093215, U.S. Pat. No. 5,631,282, U.S. Pat. No. 5,679,705, JP 08193094, saponins such as those described in EP 0,558,509 to Bonte et al, published Sep. 8, 1993 and WO 97/01346 to Bonte et al, published Jan. 16, 1997 (both of which are herein incorporated by reference in their entirety), proeoglycanase or glycosaminoglycanase inhibitors such as those described in U.S. Pat. No. 5,015,470, issued May 14, 1991, U.S. Pat. No. 5,300,284, issued Apr. 5, 1994 and U.S. Pat. No. 5,185,325, issued Feb. 9, 1993 (all of which are herein incorporated in their entirety by reference) estrogen agonists and antagonists, pseudoterins, cytokine and growth factor promoters, analogs or inhibitors such as interleukin1 inhibitors, interleukin-6 inhibitors, interleukin-10 promoters, and tumor necrosis factor inhibitors, vitamins such as vitamin D analogs and parathyroid hormone antagonists, Vitamin B12 analogs and panthenol, interfuron agonists and antagonists, hydroxyacids such as those described in U.S. Pat. No. 5,550,158, benzophenones and hydantoin anticonvulsants such as phenytoin.

ACCESSION NUMBER: 2002:304016 USPATFULL
TITLE: Compositions which contain triterpenes for regulating hair growth
INVENTOR(S): Bradbury, Barton James, West Chester, OH, United States
Soper, Shari Joy, Cincinnati, OH, United States
Kaczvinsky, Jr., Joseph Robert, Cincinnati, OH, United States
Bailey, Dorothy Limerick, Fairfield, OH, United States
Gale, Celeste Dawn, Hamilton, OH, United States
PATENT ASSIGNEE(S): The University of Texas Southwestern Medical Center, Dallas, TX, United States (U.S. corporation)

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L13      259436 POLYETHYLENE

=> s l12 or l13
L14      714951 L12 OR L13

=> s wax or (fatty alcohol?) or (fatty acid?) or (fatty oil?)
          52979 WAX
         273074 FATTY
         243307 ALCOHOL?
           2261 FATTY ALCOHOL?
                  (FATTY(W)ALCOHOL?)
         273074 FATTY
        3585197 ACID?
         243538 FATTY ACID?
                  (FATTY(W)ACID?)
         273074 FATTY
         578849 OIL?
           1810 FATTY OIL?
                  (FATTY(W)OIL?)
L15      293288 WAX OR (FATTY ALCOHOL?) OR (FATTY ACID?) OR (FATTY OIL?)

=> s isopropyl myristate
          39754 ISOPROPYL
          20508 MYRISTATE
L16        1551 ISOPROPYL MYRISTATE
                  (ISOPROPYL(W)MYRISTATE)

WO 9421641
US 5807876

=> d his

     (FILE 'HOME' ENTERED AT 13:51:16 ON 21 AUG 2001)

     FILE 'CAPLUS' ENTERED AT 13:51:26 ON 21 AUG 2001
L1             0 S PCTET9909351/PI
L2             0 S PCT9909351/PN
                 E KRIWET/AU
L3             8 S E5-6
L4            14 S E6-7
L5             1 S ASCOMYCIN? AND L4
                 SELECT L5 1 RN
L6          3192 S E1-2
L7            43 S E4-9
L8          3208 S L6 OR L7
L9           231 S L8 AND (SKIN OR TOPICAL OR DERMAL)
L10       176872 S (SKIN OR TOPICAL OR DERMAL)
L11       305725 S UREA OR (INORGANIC SALT?) OR (CARBOXYLIC ACID?)
L12       478244 S HYDROCARBON? OR WAX? OR PETROLATUM? OR PARAFFIN?
L13       259436 S POLYETHYLENE
L14       714951 S L12 OR L13
L15       293288 S WAX OR (FATTY ALCOHOL?) OR (FATTY ACID?) OR (FATTY OIL?)
L16         1551 S ISOPROPYL MYRISTATE

=> s l8 and l11 and (l14)
L17            7 L8 AND L11 AND (L14)

=> s l17 and l10
L18            6 L17 AND L10

=> d 1-6 kwic, ibib

L18  ANSWER 1 OF 6  CAPLUS  COPYRIGHT 2001 ACS
IT   Drug delivery systems
        (topical; solid carriers for improved delivery of active
        ingredients in pharmaceutical compns.)
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IT 50-14-6, Ergocalciferol 50-24-8, Prednisolone 50-28-2, Estradiol, biological studies 50-34-0, Propantheline bromide 50-56-6, Oxytocin, biological studies 51-15-0, Pralidoxime chloride 51-43-4, Epinephrine 51-48-9, L-Thyroxine, biological studies 51-55-8, Atropine, biological studies 51-60-5, Neostigminemethyl sulfate 52-01-7, Spironolactone 52-24-4, Thiotepa 53-43-0, Dehydroepiandrosterone 55-98-1, Busulphan 57-13-6, **Urea**, biological studies 57-22-7, Vincristine 57-64-7, Physostigmine salicylate 57-83-0, Progesterone, biological studies 57-94-3, Tubocurarine chloride 59-05-2, Methotrexate 60-31-1, Acetylcholine chloride 62-31-7, Dopamine hydrochloride 63-91-2, L-Phenylalanine, biological studies 65-28-1, Phentolamine mesylate 66-76-2, Dicoumarol 67-20-9, Nitrofurantoin 67-45-8, Furazolidone 67-96-9, Dihydrotachysterol 67-97-0, Cholecalciferol 68-19-9, Vitamin b12 69-65-8, D-Mannitol 70-51-9, Deferoxamine 71-27-2, Suxamethonium chloride 74-89-5, Methanamine, biological studies 76-57-3, Codeine 76-90-4, Mepenzolate bromide 76-99-3, Methadone 77-19-0, Dicyclomine 87-33-2, Isosorbide dinitrate 89-57-6, Mesalamine 90-82-4, Pseudoephedrine 101-26-8, Pyridostigmine bromide 104-31-4, Benzonatate 113-15-5, Ergotamine 114-07-8, Erythromycin 114-80-7, Neostigmine bromide 125-84-8, Aminoglutethimide 126-07-8, Griseofulvin 127-40-2, Lutein 129-06-6, Warfarin sodium 131-49-7, Diatrizoate meglumine 132-22-9, Chlorpheniramine 140-64-7, Pentamidine isethionate 147-94-4, Cytarabine 154-21-2, Lincomycin 155-97-5, Pyridostigmine 298-46-4, Carbamazepine 298-57-7, Cinnarizine 298-81-7, Methoxsalen 299-42-3, Ephedrine 300-62-9, Amphetamine 302-79-4, Tretinoin 303-49-1, Clomipramine 303-53-7, Cyclobenzaprine 303-98-0, Coenzyme Q10 321-64-2, Tacrine 359-83-1, Pentazocine 378-44-9, Betamethasone 404-86-4, Capsaicin 437-38-7, Fentanyl 443-48-1, Metronidazole 502-65-8, Lycopene 511-12-6, Dihydroergotamine 520-85-4, Medroxyprogesteron 577-11-7, Sodium docusate 595-33-5 596-51-0, Glycopyrrolate 616-91-1, Acetylcysteine 665-66-7, Amantadine hydrochloride 737-31-5, Diatrizoate sodium 865-21-4, Vinblastine 911-45-5, Clomiphene 1115-70-4, Metformin hydrochloride 1134-47-0, Baclofen 1264-72-8, Colistin sulfate 1319-82-0, Aminocaproic acid 1397-89-3, Amphotericin b 1403-66-3, Gentamycin 1404-90-6, Vancomycin 1405-20-5, Polymyxin B sulfate 1405-37-4, Capreomycin sulfate 1405-87-4, Bacitracin 1406-16-2, Vitamin D 1406-18-4, Vitamin E 1492-18-8, Leucovorin calcium 1501-84-4, Rimantadine hydrochloride 1684-40-8, Tacrine hydrochloride 1695-77-8, Spectinomycin 1951-25-3, Amiodarone 1972-08-3, Tetrahydrocannabinol 2016-88-8, Amiloride hydrochloride 3056-17-5, Stavudine 3485-62-9, Clidinium bromide 3778-73-2, Isofosfamide 3930-20-9, Sotalol 4291-63-8, Cladribine 4419-39-0, Beclomethasone 4759-48-2, Isotretinoin 5104-49-4, Flurbiprofen 5534-95-2, Pentagastrin 6493-05-6, Pentoxifylline 7261-97-4, Dantrolene 7414-83-7, Disodium etidronate 7481-89-2, Zalcitabine 7648-98-8, Ambenonium 7689-03-4, Camptothecin 8068-28-8, Colistimethate sodium 9001-27-8, Factor VIII 9001-28-9, Factor IX 9002-01-1, Streptokinase 9002-60-2, Corticotropin, biological studies 9002-61-3, Chorionic gonadotropin 9004-17-5, NPH insulin 9004-99-3, **Polyethylene** glycol stearate 9005-63-4D, Polyoxyethylene sorbitan, fatty acid esters 9007-92-5, Glucagon, biological studies 9015-68-3, Asparaginase 9039-53-6, Urokinase 9041-08-1, Dalteparin sodium 9041-93-4, Bleomycin sulfate 9087-70-1, Aprotinin 10238-21-8, Glibenclamide 10540-29-1, Tamoxifen 10596-23-3, Clodronic acid 11000-17-2, Vasopressin 11061-68-0, Insulin (human) 11103-57-4, Vitamin A 12001-79-5, Vitamin K , 12584-58-6, Porcine insulin 13265-10-6, Methscopolamine 15307-86-5, Diclofenac 15500-66-0, Pancuronium bromide 15574-96-6, Pizotifen 15663-27-1, Cisplatin 15686-51-8, Clemastine 15686-71-2, Cephalexin 15687-27-1, Ibuprofen 15826-37-6, Cromolyn sodium 16679-58-6, Desmopressin 16960-16-0, Cosyntropin 17230-88-5, Danazol 18323-44-9, Clindamycin 18559-94-9, Albuterol 18883-66-4, Streptozocin 19356-17-3, Calcifediol 20537-88-6, Amifostine 20594-83-6, Nalbuphine 20830-75-5, Digoxin

21215-62-3, Human calcitonin 21256-18-8, Oxaprozin 21679-14-1, Fludarabine 21829-25-4, Nifedipine 22254-24-6, Ipratropium bromide 22916-47-8, Miconazole 23031-32-5, Terbutaline sulfate 23214-92-8, Doxorubicin 23288-49-5, Probucol 24356-60-3, Cephapirin sodium 25126-32-3, Sincalide 25322-68-3D, PEG, esters 25523-97-1, Dexchlorpheniramine 25618-55-7D, Polyglycerol, fatty acid esters 25812-30-0, Gemfibrozil 26839-75-8, Timolol 27164-46-1, Cefazolin sodium 27203-92-5, Tramadol 27215-38-9, Glycerol monolaurate 29094-61-9, Glipizide 29122-68-7, Atenolol 29767-20-2, Teniposide 30516-87-1, Zidovudine 32222-06-3, Calcitriol 33069-62-4, Paclitaxel 33419-42-0, Etoposide 33515-09-2, Gonadorelin 33564-30-6, Cefoxitin sodium 34787-01-4, Ticarcillin 34911-55-2, Bupropion 35607-66-0, Cefoxitin 36791-04-5, Ribavirin 38304-91-5, Minoxidil 41340-25-4, Etodolac 41575-94-4, Carboplatin 42057-22-7, Mezlocillin sodium 42540-40-9, Cefamandole nafate 42924-53-8, Nabumetone 43200-80-2, Zopiclone 47931-85-1, Salmon calcitonin 49562-28-9, Fenofibrate 49697-38-3, Rimexolone 50700-72-6, Vecuronium bromide 51110-01-1, Somatostatin 51322-75-9, Tizanidine 51333-22-3, Budesonide 51384-51-1, Metoprolol 51481-61-9, Cimetidine 53123-88-9, Sirolimus 53179-11-6, Loperamide 53230-10-7, Mefloquine 53910-25-1, Pentostatin 54063-53-5, Propafenone 54910-89-3, Fluoxetine 54965-21-8, Albendazole 55142-85-3, Ticlopidine 56180-94-0, Acarbose 57248-88-1, Pamidronate disodium 59277-89-3, Acyclovir 59467-70-8, Midazolam 59703-84-3, Piperacillin sodium 59865-13-3, Cyclosporine 60142-96-3, Neurontin 61270-78-8, Cefonicid sodium 61379-65-5, Rifapentine 61869-08-7, Paroxetine 62013-04-1, Dirithromycin 62893-19-0, Cefoperazone 63585-09-1, Foscarnet sodium 63612-50-0, Nilutamide 63675-72-9, Nisoldipine 64228-81-5, Atracurium besylate 64544-07-6, Cefuroxime axetil 65271-80-9, Mitoxantrone 65277-42-1, Ketoconazole 66376-36-1, Alendronate 68099-86-5, Bepridil hydrochloride 68401-81-0, Ceftizoxime 68506-86-5, Vigabatrin 69049-74-7, Nedocromil sodium
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(solid carriers for improved delivery of active ingredients in pharmaceutical compns.)

IT 69655-05-6, Didanosine 69756-53-2, Halofantrine 70288-86-7, Ivermectin 70458-92-3, Pefloxacin 70458-96-7, Norfloxacin 71486-22-1, Vinorelbine 72432-03-2, Miglitol 72559-06-9, Rifabutine 73384-59-5, Ceftriaxone 73590-58-6, Omeprazole 73963-72-1, Cilostazol 74011-58-8, Enoxacin 74103-06-3, Ketorolac 74356-00-6, Cefotetan disodium 74381-53-6, Leuprolide acetate 75330-75-5, Lovastatin 75706-12-6, Leflunomide 76420-72-9, Enalaprilat 76470-66-1, Loracarbef 76547-98-3, Lisinopril 76824-35-6, Famotidine 76963-41-2, Nizatidine 78110-38-0, Aztreonam 79350-37-1, Cefixime 79517-01-4, Octreotide acetate 79617-96-2, Sertraline 79794-75-5, Loratadine 79902-63-9, Simvastatin 81093-37-0, Pravastatin 81098-60-4, Cisapride 81103-11-9, Clarithromycin 81161-17-3, Esmolol hydrochloride 82410-32-0, Ganciclovir 82419-36-1, Ofloxacin 82626-48-0, Zolpidem 82952-64-5, Trimetrexate glucuronate 83799-24-0, Fexofenadine 83869-56-1, Granulocyte-macrophage colony stimulating factor 83881-51-0, Cetirizine 83905-01-5, Azithromycin 84057-84-1, Lamotrigine 84371-65-3, Mifepristone 84449-90-1, Raloxifene 84625-61-6, Itraconazole 85721-33-1, Ciprofloxacin 86386-73-4, Fluconazole 86541-75-5, Benazepril 87679-37-6, Trandolapril 88150-42-9, Amlodipine 88669-04-9, Trospectomycin 89778-26-7, Toremifene 89987-06-4, Tiludronate 90357-06-5, Bicalutamide 91161-71-6, Terbinafine 93390-81-9, Fosphenytoin 93413-69-5, Venlafaxine 93479-97-1, Glimepiride 93957-54-1, Fluvastatin 94749-08-3, Salmeterol xinafoate 95233-18-4, Atovaquone 97240-79-4, Topiramate 97322-87-7, Troglitazone 97682-44-5, Irinotecan 98079-51-7, Lomefloxacin 98319-26-7, Finasteride 100986-85-4, Levofloxacin 101828-21-1, Butenafine 103577-45-3, Lansoprazole 103628-46-2, Sumatriptan 104227-87-4, Famciclovir **104987-11-3**, Tacrolimus 105462-24-6, Residronate 106133-20-4, Tamsulosin 106392-12-5, Oxirane, polymer with

methyloxirane, block 106650-56-0, Sibutramine 106819-53-8, Doxacurium chloride 106861-44-3, Mivacurium chloride 107648-80-6, Cefepime hydrochloride 107753-78-6, Zafirlukast 107950-52-7, Gonadotropin-releasing hormone 109319-16-6, Factor VIII 110871-86-8, Sparfloxacin 111025-46-8, Pioglitazone 111406-87-2, Zileuton 112965-21-6, Calcipotriene 113427-24-0 113665-84-2, Clopidogrel 113852-37-2, Cidofovir 115103-54-3, Tiagabine 116094-23-6, Insulin aspart 117976-89-3, Rabeprazole 118072-93-8, Zoledronate 118292-40-3, Tazarotene 119914-60-2, Grepafloxacin 120014-06-4, Donepezil 121368-58-9, Olpadronate 121679-13-8, Naratriptan 122320-73-4, Rosiglitazone 123948-87-8, Topotecan 124832-26-4, Valaciclovir 127759-89-1, Lobucavir 127779-20-8, Saquinavir 129497-78-5, Verteporfin 131918-61-1, Paricalcitol 133040-01-4, Eprosartan 133107-64-9, Insulin lispro 134523-00-5, Atorvastatin 134678-17-4, Lamivudine 135062-02-1, Repaglinide 137862-53-4, Valsartan 138402-11-6, Irbesartan 139110-80-8, Zanamivir 139264-17-8, Zolmitriptan 139481-59-7, Candesartan 139639-23-9, Tissue type plasminogen activator 142128-59-4, Terzolin 143003-46-7, Alglucerase 143011-72-7, Granulocyte colony stimulating factor 143831-71-4 144034-80-0, Rizatriptan 144494-65-5, Tirofiban 144701-48-4, Telmisartan 145599-86-6, Cerivastatin 145941-26-0, Oprelvekin 146961-76-4, Alatrofloxacin 147059-72-1, Trovafloxacin 148553-50-8, Pregabalin 151126-32-8, Pramlintide 153559-49-0, Targretin 154361-50-9, Capecitabine 154598-52-4, Efavirenz 155213-67-5, Ritonavir 157810-81-6, Indinavir sulfate 158747-02-5, Frovatriptan 158966-92-8, Montelukast 159989-64-7, Nelfinavir 160337-95-1, Insulin glargine 162011-90-7, Rofecoxib 165101-51-9, Becaplermin 169148-63-4, Insulin detemir 169590-42-5, Celecoxib 171599-83-0, Sildenafil citrate 173146-27-5, Denileukin diftitox 191588-94-0, TNK-tPA

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(solid carriers for improved delivery of active ingredients in pharmaceutical compns.)

ACCESSION NUMBER: 2001:396644 CAPLUS
DOCUMENT NUMBER: 135:24671
TITLE: Solid carriers for improved delivery of active ingredients in pharmaceutical compositions
INVENTOR(S): Patel, Manesh V.; Chen, Feng-jing
PATENT ASSIGNEE(S): Lipocine, Inc., USA
SOURCE: PCT Int. Appl., 107 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001037808	A1	20010531	WO 2000-US32255	20001122
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6248363	B1	20010619	US 1999-447690	19991123

PRIORITY APPLN. INFO.: US 1999-447690 A 19991123
REFERENCE COUNT: 4
REFERENCE(S): (1) Cho; US 4849227 A 1989 CAPLUS
(2) Desieno; US 5573783 A 1996 CAPLUS

(3) Harrison; US 4717569 A 1988 CAPLUS
(4) Stetsko; US 5340589 A 1994 CAPLUS

L18 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2001 ACS
IT Drug delivery systems
(**topical**; oil-in-water emulsion compns. for polyfunctional active ingredients)
IT 50-14-6, Ergocalciferol 50-21-5D, Lactic acid, glycerides 50-24-8, Prednisolone 50-28-2, Estradiol, biological studies 50-34-0, Propantheline bromide 50-56-6, Oxytocin, biological studies 50-70-4, Sorbitol, biological studies 51-15-0, Pralidoxime chloride 51-43-4, Epinephrine 51-48-9, L-Thyroxine, biological studies 51-55-8, Atropine, biological studies 51-60-5, Neostigmine methyl sulfate 52-01-7, Spironolactone 52-24-4, Thiotepa 55-98-1, Busulfan 56-81-5, Glycerol, biological studies 57-13-6, **Urea**, biological studies 57-22-7, Vincristine 57-55-6, Propylene glycol, biological studies 57-55-6D, Propylene glycol, fatty acid esters 57-64-7, Physostigmine salicylate 57-83-0, Progesterone, biological studies 57-88-5, Cholesterol, biological studies 57-88-5D, Cholesterol, fatty acid esters and polyethoxylated 57-94-3, Tubocurarine chloride 59-05-2, Methotrexate 60-31-1, Acetylcholine chloride 62-31-7, Dopamine hydrochloride 63-91-2, Phenylalanine, biological studies 64-17-5, Ethanol, biological studies 65-28-1, Phentolamine mesylate 66-76-2, Dicoumarol 67-20-9, Nitrofurantoin 67-45-8, Furazolidone 67-96-9, Dihydrotachysterol 67-97-0, Cholecalciferol 68-19-9, Vitamin B12 69-65-8, D-Mannitol 70-51-9, Deferoxamine 71-27-2, Suxamethonium chloride 74-89-5, Methanamine, biological studies 76-57-3, Codeine 76-90-4, Mepenzolate bromide 76-99-3, Methadone 77-19-0, Dicyclomine 83-44-3, Deoxycholic acid 87-33-2, Isosorbide dinitrate 89-57-6, Mesalamine 101-26-8, Pyridostigmine bromide 104-31-4, Benzonatate 107-21-1, Ethylene glycol, biological studies 112-80-1, Oleic acid, biological studies 113-15-5, Ergotamine 114-07-8, Erythromycin 114-80-7, Neostigmine bromide 115-77-5, Pentaerythritol, biological studies 121-44-8, Triethylamine, biological studies 122-32-7, Glyceryl trioleate 125-84-8, Aminoglutethimide 126-07-8, Griseofulvin 129-06-6, Warfarin sodium 131-49-7, Diatrizoate meglumine 132-22-9, Chlorpheniramine 140-64-7, Pentamidine isethionate 147-94-4, Cytarabine 154-21-2, Lincomycin 155-97-5, Pyridostigmine 298-46-4, 5H-Dibenz[b,f]azepine-5-carboxamide 298-57-7, Cinnarizine 298-81-7, Methoxsalen 299-42-3, Ephedrine 300-62-9, Amphetamine 302-79-4, Tretinoin 303-49-1, Clomipramine 321-64-2, Tacrine 359-83-1, Pentazocine 378-44-9, Betamethasone 404-86-4, Capsaicin 437-38-7, Fentanyl 443-48-1, Metronidazole 502-65-8, Lycopene 511-12-6, Dihydroergotamine 520-85-4, Medroxyprogesterone 537-40-6, Glyceryl trilinoleate 541-15-1, Carnitine 595-33-5 596-51-0, Glycopyrrolate 616-91-1, Acetylcysteine 665-66-7, Amantadine hydrochloride 737-31-5, Diatrizoate sodium 865-21-4, Vinblastin 911-45-5, Clomiphene 1115-70-4, Metformin hydrochloride 1134-47-0, Baclofen 1264-72-8, Colistin sulfate 1319-82-0, Aminocaproic acid 1397-89-3, Amphotericin B 1403-66-3, Gentamycin 1404-90-6, Vancomycin 1405-20-5, Polymixin B sulfate 1405-37-4, Capreomycin sulfate 1405-87-4, Bacitracin 1406-16-2, Vitamin D 1406-18-4, Vitamin E 1492-18-8, Leucovorin calcium 1501-84-4, Rimantadine hydrochloride 1684-40-8, Tacrine hydrochloride 1695-77-8, Spectinomycin 1951-25-3, Amiodarone 1972-08-3, Tetrahydrocannabinol 2016-88-8, Amiloride hydrochloride 3056-17-5, Stavudine 3485-62-9, Clidinium bromide 3778-73-2, Isofosfamide 3930-20-9, Sotalol 4291-63-8, Cladribine 4419-39-0, Beclomethasone 4759-48-2, Isotretinoin 5104-49-4, Flurbiprofen 5534-95-2, Pentagastrin 6493-05-6, Pentoxifylline 6990-06-3, Fusidic acid 7261-97-4, Dantrolene 7414-83-7, Etidronate disodium 7481-89-2, Zalcitabine 7648-98-8, Ambenonium 7689-03-4, Camptothecin 8068-28-8, Colistimethate sodium 9001-28-9, Factor IX 9002-01-1, Streptokinase 9002-60-2, Corticotropin, biological studies 9004-17-5, NPH insulin

9005-07-6, PEG 400 dioleate 9007-48-1, Plurol Oleique CC497 9007-92-5, Glucagon, biological studies 9015-68-3, Asparaginase 9034-40-6, Gonadotropin releasing hormone 9039-53-6, Urokinase 9041-08-1, Dalteparin sodium 9041-93-4, Bleomycin sulfate 9087-70-1, Aprotinin 10238-21-8, Glyburide 10540-29-1, Tamoxifen 10596-23-3, Clodronic acid 11000-17-2, Vasopressin 11061-68-0, Human insulin 11103-57-4, Vitamin A 11140-04-8, Imwitor 988 12001-79-5, Vitamin K 12441-09-7D, Sorbitan, faty acid esters, ethoxylated 12584-58-6, Insulin porcine 12619-70-4, Cyclodextrin 12629-01-5, Human growth hormone 13265-10-6, Methscopolamine 14465-68-0, Glyceryl trilinolenate 15307-86-5, Diclofenac 15500-66-0, Pancuronium bromide 15574-96-6, Pizotifen 15663-27-1, Cisplatin 15686-51-8, Clemastine 15686-71-2, Cephalexin 15687-27-1, Ibuprofen 15826-37-6, Cromolyn sodium 16679-58-6, Desmopressin 16960-16-0, Cosyntropin 17230-88-5, Danazol 18323-44-9, Clindamycin 18559-94-9, Albuterol 18883-66-4, Streptozocin 19356-17-3, Calcifediol 20537-88-6, Amifostine 20594-83-6, Nalbuphine 20830-75-5, Digoxin 21215-62-3, Human calcitonin 21256-18-8, Oxaprozin 21679-14-1, Fludarabine 21829-25-4, Nifedipine 22254-24-6, Ipratropium bromide 22916-47-8, Miconazole 23031-32-5, Terbutaline sulfate 23214-92-8, Doxorubicin 23288-49-5, Probucol 24356-60-3, Cephapirin sodium 25126-32-3, Sincalide 25322-68-3, **Polyethylene** glycol 25322-69-4, Polypropylene glycol 25523-97-1, Dexchlorpheniramine 25618-55-7, Polyglycerol 25812-30-0, Gemfibrozil 26839-75-8, Timolol 27164-46-1, Cefazolin sodium 27203-92-5, Tramadol 29094-61-9, Glipizide 29122-68-7, Atenolol 29767-20-2, Teniposide 30516-87-1, Zidovudine 32222-06-3, Calcitriol 33069-62-4, Paclitaxel 33419-42-0, Etoposide 33515-09-2, Gonadorelin 33564-30-6, Cefoxitin sodium 34787-01-4, Ticarcillin 34911-55-2, Bupropion 36791-04-5, Ribavirin 37220-82-9, Peceol 37321-62-3, Lauroglycol FCC 38304-91-5, Minoxidil 39809-25-1, Penciclovir 41340-25-4, Etodolac 41575-94-4, Carboplatin 42057-22-7, Mezlocillin sodium 42540-40-9, Cefamandole nafate 42924-53-8, Nabumetone 43200-80-2, Zopiclone 47931-85-1, Calcitonin salmon 49562-28-9, Fenofibrate 49697-38-3, Rimexolone 50700-72-6, Vecuronium bromide 51110-01-1, Somatostatin 51322-75-9, Tizanidine 51333-22-3, Budesonide 51384-51-1, Metoprolol 51481-61-9, Cimetidine 53123-88-9, Sirolimus 53179-11-6, Loperamide 53230-10-7, Mefloquine 53910-25-1, Pentostatin 54063-53-5, Propafenone 54910-89-3, Fluoxetine 54965-21-8, Albendazole 55079-83-9, Acitretin 55142-85-3, Ticlopidine 56180-94-0, Acarbose 57248-88-1, Pamidronate disodium 59277-89-3, Acyclovir 59467-70-8, Midazolam 59703-84-3, Piperacillin sodium 59865-13-3, Cyclosporin A
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(oil-in-water emulsion compns. for polyfunctional active ingredients)

IT 60142-96-3, Gabapentin 61270-78-8, Cefonicid sodium 61361-72-6, Dimyristoylphosphatidyl glycerol 61379-65-5, Rifapentine 61489-71-2, Menotropin 61869-08-7, Paroxetine 62013-04-1, Dirithromycin 62356-64-3 62893-19-0, Cefoperazone 63527-52-6, Cefotaxime 63585-09-1, Foscarnet sodium 63590-64-7, Terazosin 63612-50-0, Nilutamide 63675-72-9, Nisoldipine 64228-81-5, Atracurium besylate 64544-07-6, Cefuroxime axetil 65271-80-9, Mitoxantrone 65277-42-1, Ketoconazole 66376-36-1, Alendronate 66419-50-9, Bovine growth hormone 68099-86-5, Bepridil hydrochloride 68401-81-0, Ceftizoxime 68506-86-5, Vigabatrin 69049-74-7, Nedocromil sodium 69655-05-6, Didanosine 69756-53-2, Halofantrine 70288-86-7, Ivermectin 70458-92-3, Pefloxacin 70458-96-7, Norfloxacin 71486-22-1, Vinorelbine 72432-03-2, Miglitol 72559-06-9, Rifabutine 73384-59-5, Ceftriaxone 73590-58-6, Omeprazole 73963-72-1, Cilostazol 74011-58-8, Enoxacin 74103-06-3, Ketorolac 74356-00-6, Cefotetan disodium 74381-53-6, Leuprolide acetate 75706-12-6, Leflunomide 76420-72-9, Enalaprilat 76470-66-1, Loracarbef 76547-98-3, Lisinopril 76824-35-6, Famotidine 76963-41-2, Nizatidine 78110-38-0, Aztreonam 79350-37-1, Cefixime 79517-01-4, Octreotide acetate 79617-96-2, Sertraline 79794-75-5, Loratadine 79902-63-9, Simvastatin 81093-37-0, Pravastatin 81098-60-4, Cisapride

81103-11-9, Clarithromycin 81161-17-3, Esmolol hydrochloride
82410-32-0, Ganciclovir 82419-36-1, Ofloxacin 82626-48-0, Zolpidem
82952-64-5, Trimetrexate glucuronate 83799-24-0, Fexofenadine
83869-56-1, Granulocyte-macrophage colony stimulating factor 83881-51-0,
Cetirizine 83905-01-5, Azithromycin 84057-84-1, Lamotrigine
84371-65-3, Mifepristone 84449-90-1, Raloxifene 84625-61-6,
Itraconazole 85721-33-1, Ciprofloxacin 86386-73-4, Fluconazole
86541-75-5, Benazepril 87679-37-6, Trandolapril 88669-04-9,
Trospectomycin 89778-26-7, Toremifene 89987-06-4, Tiludronate
90357-06-5, Bicalutamide 91161-71-6, Terbinafine 93390-81-9,
Fosphenytoin 93413-69-5, Venlafaxine 93479-97-1, Glimepiride
93957-54-1, Fluvastatin 94749-08-3, Salmeterol xinafoate 95233-18-4,
Atovaquone 97240-79-4, Topiramate 97322-87-7, Troglitazone
97682-44-5, Irinotecan 98079-51-7, Lomefloxacin 98319-26-7,
Finasteride 100986-85-4, Levofloxacin 101828-21-1, Butenafine
103577-45-3, Lansoprazole 103628-46-2, Sumatriptan 104227-87-4,
Famciclovir **104987-11-3**, Tacrolimus 105462-24-6, Residronate
106133-20-4, Tamsulosin 106650-56-0, Sibutramine 106819-53-8,
Doxacurium chloride 106861-44-3, Mivacurium chloride 107648-80-6,
Cefepime hydrochloride 107753-78-6, Zafirlukast 110871-86-8,
Sparfloxacin 111025-46-8, Pioglitazone 111406-87-2, Zileuton
112965-21-6, Calcipotriene 113189-02-9, Antihemophilic factor
113665-84-2, Clopidogrel 113852-37-2, Cidofovir 115103-54-3, Tiagabine
116094-23-6, Insulin aspart 117976-89-3, Rabeprazole 118072-93-8,
Zoledronate 118292-40-3, Tazarotene 119914-60-2, Grepafloxacin
120014-06-4, Donepezil 121368-58-9, Olpadronate 121679-13-8,
Naratriptan 122320-73-4, Rosiglitazone 123948-87-8, Topotecan
124832-26-4, Valaciclovir 127759-89-1, Lobucavir 127779-20-8,
Saquinavir 129497-78-5, Verteporfin 131918-61-1, Paricalcitol
133040-01-4, Eprosartan 133107-64-9, Insulin lispro 134523-00-5,
Atorvastatin 134678-17-4, Lamivudine 135062-02-1, Repaglinide
137862-53-4, Valsartan 138402-11-6, Irbesartan 139110-80-8, Zanamivir
139264-17-8, Zolmitriptan 139481-59-7, Candesartan 139639-23-9, Tissue
type plasminogen activator 143003-46-7, Alglucerase 143011-72-7,
Granulocyte colony stimulating factor 144034-80-0, Rizatriptan
144494-65-5, Tirofiban 144701-48-4, Telmisartan 145599-86-6,
Cerivastatin 145941-26-0, Oprelvekin 146961-76-4, Alatrofloxacin
147059-72-1, Trovafloxacin 148553-50-8, Pregabalin 151126-32-8,
Pramlintide 153559-49-0, Targretin 154361-50-9, Capecitabine
154598-52-4, Efavirenz 155213-67-5, Ritonavir 156259-68-6, Capmul MCM
157810-81-6, Indinavir sulfate 158747-02-5, Frovatriptan 158966-92-8,
Montelukast 159989-64-7, Nelfinavir 160337-95-1, Insulin glargine
162011-90-7, Rofecoxib 165101-51-9, Becaplermin 169148-63-4, Insulin
detemir 169590-42-5, Celecoxib 173146-27-5, Denileukin diftitox
191588-94-0, TNK-tPA 208666-87-9, Captex 810D
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(oil-in-water emulsion compns. for polyfunctional active ingredients)

ACCESSION NUMBER: 2001:300514 CAPLUS
DOCUMENT NUMBER: 134:331617
TITLE: Oil-in-water emulsion compositions for polyfunctional active ingredients
INVENTOR(S): Chen, Feng-jing; Patel, Mahesh V.
PATENT ASSIGNEE(S): Lipocine, Inc., USA
SOURCE: PCT Int. Appl., 82 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001028555	A1	20010426	WO 2000-US28835	20001018

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 1999-420159 A 19991018
REFERENCE COUNT: 6
REFERENCE(S): (1) Bistrian; US 4871768 A 1989 CAPLUS
(2) Demichele; US 5661180 A 1997 CAPLUS
(3) Demichele; US 6013665 A 2000 CAPLUS
(4) Demichele; US 6130244 A 2000 CAPLUS
(5) Demichele; US 6160007 A 2000 CAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2001 ACS
TI **Topical** compositions comprising ascomycins
AB The present invention relates to a compn. for **topical** administration comprising an ascomycin and a carrier vehicle comprising means to retain water in the outer **skin** layer and means to hinder water evapg. from the **skin**. A compn. was prepd. contg. 33-epichloro-33-desoxyascomycin 1, **urea** 10, **petrolatum** 39, **wax** 10, liq. **paraffin** 35, and iso-Pr myristate 5 g.
ST ascomycin **topical** compn
IT Alcohols, biological studies
RL: MOA (Modifier or additive use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(fatty; **topical** compns. comprising ascomycins)
IT Fats and Glyceridic oils, biological studies
Fatty acids, biological studies
Paraffin oils
Paraffin waxes, biological studies
Waxes
RL: MOA (Modifier or additive use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(**topical** compns. comprising ascomycins)
IT **Carboxylic acids**, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(**topical** compns. comprising ascomycins)
IT Drug delivery systems
(**topical**; **topical** compns. comprising ascomycins)
IT 57-13-6, **Urea**, biological studies 110-27-0, Isopropyl myristate 9002-88-4, **Polyethylene**
RL: MOA (Modifier or additive use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(**topical** compns. comprising ascomycins)
IT **104987-11-3**, FK-506 **104987-12-4D**, Ascomycin, derivs. **137071-32-0 148147-65-3**, ABT-281 **148365-48-4**, L-732531 **150250-95-6 161861-05-8 273752-75-3**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(**topical** compns. comprising ascomycins)
ACCESSION NUMBER: 2000:383981 CAPLUS
DOCUMENT NUMBER: 133:34430
TITLE: **Topical** compositions comprising ascomycins
INVENTOR(S): Kriwet, Katrin; Ledergerber, Dorothea; Riedl, Jutta
PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis-Erfindungen Verwaltungsgesellschaft m.b.H.
SOURCE: PCT Int. Appl., 23 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000032234	A1	20000608	WO 1999-EP9351	19991201

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: GB 1998-26656 A 19981203
REFERENCE COUNT: 3
REFERENCE(S):
(1) Fujisawa Pharmaceutical Co; EP 0423714 A 1991 CAPLUS
(2) Fujisawa Pharmaceutical Co; EP 0474126 A 1992 CAPLUS
(3) Sandoz Ltd; WO 9613249 A 1996 CAPLUS

L18 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2001 ACS
TI **Topical** delivery systems for active agents
AB . . . growth agents, hair inhibitor agents, anti-acne agents, anti-aging agents, depilatory agents, and depigmentation agents, may be effectively delivered into the **skin**, hair follicles and sebaceous glands using the compns. of the present invention. Thus, minoxidil (0.4 g) was dissolved in 4. . .
ST **topical** delivery system surfactant lipid
IT Polyoxyalkylenes, biological studies
RL: BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(C10-18 fatty ethers; **topical** delivery systems for active agents)
IT Alcohols, biological studies
RL: BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(C10-18, ethoxylated; **topical** delivery systems for active agents)
IT Monoglycerides
RL: BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(C3-50; **topical** delivery systems for active agents)
IT Diglycerides
RL: BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(C5-25; **topical** delivery systems for active agents)
IT Heat-shock proteins
RL: BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(HSP 27; **topical** delivery systems for active agents)
IT Heat-shock proteins
RL: BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(HSP 72; **topical** delivery systems for active agents)
IT Drug delivery systems
(aerosols; **topical** delivery systems for active agents)
IT Alcohols, biological studies
RL: BUU (Biological use, unclassified); THU (Therapeutic use); BIOL

(Biological study); USES (Uses)
(alkoxylated; **topical** delivery systems for active agents)

IT Polyoxyalkylenes, biological studies
RL: BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(alkyl group-terminated; **topical** delivery systems for active agents)

IT Phenols, biological studies
RL: BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(alkyl, alkoxylated; **topical** delivery systems for active agents)

IT Phenols, biological studies
RL: BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(alkyl, ethoxylated; **topical** delivery systems for active agents)

IT Natural products, pharmaceutical
RL: BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(aloe; **topical** delivery systems for active agents)

IT Androgens
RL: BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antiandrogens; **topical** delivery systems for active agents)

IT Hair preparations
Shampoos
(antidandruff; **topical** delivery systems for active agents)

IT Cosmetics
(depilatories; **topical** delivery systems for active agents)

IT Fatty acids, biological studies
RL: BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(esters; **topical** delivery systems for active agents)

IT Alcohols, biological studies
Carboxylic acids, biological studies
RL: BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(ethoxylated; **topical** delivery systems for active agents)

IT Drug delivery systems
(gels; **topical** delivery systems for active agents)

IT Hair preparations
(growth stimulants; **topical** delivery systems for active agents)

IT **Carboxylic acids**, biological studies
RL: BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(hydroxy; **topical** delivery systems for active agents)

IT Acne
Psoriasis
(inhibitors; **topical** delivery systems for active agents)

IT Lipids, biological studies
RL: BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(nonionic; **topical** delivery systems for active agents)

IT Drug delivery systems
(ointments, creams; **topical** delivery systems for active agents)

IT Drug delivery systems
(ointments; **topical** delivery systems for active agents)

IT Alcohols, biological studies
RL: BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(polyhydric; **topical** delivery systems for active agents)
IT Drug delivery systems
(sprays; **topical** delivery systems for active agents)
IT Shale oils
(sulfonated; **topical** delivery systems for active agents)
IT Orange
(sweet; **topical** delivery systems for active agents)
IT Alopecia
Anti-inflammatory agents
Antibiotics
Antioxidants
Bath preparations
Clove (Syzygium aromaticum)
Ginseng (Panax)
Rehmannia
Shampoos
Sunscreens
Surfactants
Swertia
Zanthoxylum
(**topical** delivery systems for active agents)
IT Alcohols, biological studies
Cell adhesion molecules
Coal tar
Corticosteroids, biological studies
Interleukin 1.alpha.
Interleukin 1.beta.
Interleukin 6
Polyoxyalkylenes, biological studies
Retinoids
Steroids, biological studies
Vitamins
RL: BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(**topical** delivery systems for active agents)
IT Drug delivery systems
(**topical**; **topical** delivery systems for active agents)
IT 27638-00-2, Emulsynt GDL
RL: BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(Emulsynt GDL; **topical** delivery systems for active agents)
IT 79-14-1, GlyPure, biological studies
RL: BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(GlyPure; **topical** delivery systems for active agents)
IT 1323-83-7, Kessco GDS 386F
RL: BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(Kessco GDS 386F; **topical** delivery systems for active agents)
IT 9081-34-9, 5.alpha.-Reductase
RL: BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(isotypes; **topical** delivery systems for active agents)
IT 50-21-5, Lactic acid, biological studies 50-28-2, 17.beta.-Estradiol, biological studies 50-81-7, Vitamin C, biological studies 56-81-5, Glycerin, biological studies 57-55-6, Propylene glycol, biological studies 57-88-5, Cholesterol, biological studies 57-92-1, Streptomycin, biological studies 58-95-7, Vitamin E acetate 59-02-9, .alpha.-Tocopherol 64-17-5, Ethanol, biological studies 66-81-9, Cycloheximide 68-26-8, Retinol 69-72-7, Salicylic acid, biological studies 94-36-0, Benzoyl peroxide, biological studies 107-88-0, 1,3-Butylene glycol 108-32-7, Arconate HP 110-27-0, Isopropyl

myristate 112-80-1, Oleic acid, biological studies 123-31-9, Hydroquinone, biological studies 123-31-9D, Hydroquinone, derivs. 123-99-9, Azelaic acid, biological studies 152-11-4, Verapamil hydrochloride 288-32-4D, Imidazole, derivs. 302-79-4, Tretinoin 364-98-7, Diazoxide 378-44-9, Betamethasone 501-30-4, Kojic acid 501-30-4D, Kojic acid, derivs. 551-11-1, Prostaglandin F2.alpha. 745-65-3, PGE1 1197-18-8, Tranexamic acid 2609-46-3, Amiloride 7704-34-9, Sulfur, biological studies 7757-82-6, Sodium sulfate, biological studies 9002-92-0, **Polyethylene** glycol lauryl ether 9004-65-3, HPMC 9004-95-9, **Polyethylene** glycol cetyl ether 9005-00-9, Brij 76 11096-26-7, Erythropoietin 13463-41-7, Zinc pyrithione 21829-25-4, Nifedipine 25322-68-3, **Polyethylene** glycol 25322-68-3D, **Polyethylene** glycol, C10-18 fatty ethers 25655-41-8, Povidone-iodine 27306-79-2, **Polyethylene** glycol myristyl ether 38304-91-5, Minoxidil 41621-49-2, Ciclopirox olamine 42399-41-7, Diltiazem 51234-28-7, Benoxaprofen 56093-45-9, Selenium sulfide 59865-13-3, Cyclosporin 60559-98-0 62031-54-3, Fibroblast growth factor 62229-50-9, Epidermal growth factor 64296-33-9, Vitamin C palmitate 65277-42-1, Ketoconazole 67914-69-6, Elubiol 68890-66-4, Piroctone olamine 98319-26-7, Finasteride **104987-11-3**, FK-506 106392-12-5D, **Polyethylene** glycol-polypropylene glycol block copolymer, alkyl ethers
RL: BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(**topical** delivery systems for active agents)

ACCESSION NUMBER: 2000:116928 CAPLUS
DOCUMENT NUMBER: 132:171116
TITLE: **Topical** delivery systems for active agents
INVENTOR(S): Niemiec, Susan M.; Wang, Jonas C. T.; Wisniewski, Stephen J.; Stenn, Kurt S.; Lu, Gwang Wei
PATENT ASSIGNEE(S): Johnson & Johnson Consumer Companies, Inc., USA
SOURCE: PCT Int. Appl., 56 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000007627	A2	20000217	WO 1999-US17387	19990802
WO 2000007627	A3	20000817		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9956695	A1	20000228	AU 1999-56695	19990802
EP 1104280	A2	20010606	EP 1999-943639	19990802
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				

PRIORITY APPLN. INFO.: US 1998-95289 P 19980804
US 1999-363412 A 19990723
WO 1999-US17387 W 19990802

L18 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2001 ACS
TI **Skin** penetration enhancing formulations containing macrolides or immunosuppressants
AB A **topical** formulation for the treatment of a dermatol. condition

comprises a macrocyclic antibiotic, immunosuppressive macrolide, its analog or prodrug and a. . . a macrocyclic lactone or macrolide. The macrolides are present in amts. enough to cause systemic effects when applied to the **skin**. The immunosuppressive macrolide may be sirolimus. A formulation formed from sirolimus (2.2%) in a vehicle comprising iso-Pr myristate 40, benzyl alc. 10, and capric acid 50% was tested in single application expts. on 3 individuals with normal **skin**. Venous blood samples were taken 15 at 4, 7 and 24 h after application and no significant levels of sirolimus. . .

ST **skin** penetration **topical** macrolide; immunosuppressant
skin penetration **topical**; lactone antibiotic
skin penetration **topical**

IT Lactones
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antibiotics; **skin** penetration enhancing formulations contg. macrolides or immunosuppressants)

IT **Skin** diseases
(lichen planus; **skin** penetration enhancing formulations contg. macrolides or immunosuppressants)

IT Alopecia
Dermatitis
Eczema
Erythema
Immunosuppressants
Lupus erythematosus
Macrolide antibiotics
Permeation enhancers
Psoriasis
Skin
Skin diseases
Topical drug delivery systems
Vitiligo
(**skin** penetration enhancing formulations contg. macrolides or immunosuppressants)

IT **Carboxylic acids**, biological studies
Carnauba **wax**
C16-18 alcohols
Petrolatum
Soaps
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(**skin** penetration enhancing formulations contg. macrolides or immunosuppressants)

IT Acne
(vulgaris; **skin** penetration enhancing formulations contg. macrolides or immunosuppressants)

IT 114-07-8, Erythromycin 53123-88-9, Sirolimus 81103-11-9, Clarithromycin 83905-01-5, Azithromycin **104987-11-3**, FK506 **137071-32-0**, SDZ-ASM 981
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(**skin** penetration enhancing formulations contg. macrolides or immunosuppressants)

IT 100-51-6, Benzyl alcohol, biological studies 112-80-1, Oleic acid, biological studies 112-92-5, Stearyl alcohol 124-07-2, Octanoic acid, biological studies 334-48-5, Capric acid 36653-82-4, Cetyl alcohol
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(**skin** penetration enhancing formulations contg. macrolides or immunosuppressants)

ACCESSION NUMBER: 1999:325794 CAPLUS
DOCUMENT NUMBER: 130:343026
TITLE: **Skin** penetration enhancing formulations containing macrolides or immunosuppressants
INVENTOR(S): Ormerod, Anthony David; Winfield, Arthur

PATENT ASSIGNEE(S): Aberdeen University, UK
SOURCE: PCT Int. Appl., 29 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9924036	A1	19990520	WO 1998-GB3317	19981105
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
ZA 9810111	A	19990507	ZA 1998-10111	19981105
AU 9910408	A1	19990531	AU 1999-10408	19981105
EP 1028727	A1	20000823	EP 1998-952860	19981105
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
NO 2000002078	A	20000706	NO 2000-2078	20000419

PRIORITY APPLN. INFO.: GB 1997-23669 A 19971107
WO 1998-GB3317 W 19981105

REFERENCE COUNT: 10
REFERENCE(S): (1) Fujisawa; EP 0474126 A 1992 CAPLUS
(2) Fujisawa; EP 0753297 A 1997 CAPLUS
(3) Pfizer; EP 0435436 A 1991 CAPLUS
(4) Procter & Gamble; EP 0027286 A 1981 CAPLUS
(5) Procter & Gamble; EP 0043738 A 1982 CAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2001 ACS
TI Ointments containing tricyclic compounds for treatment of **skin** diseases
AB Ointments contg. tricyclic compds. (Markush given) e.g. FK506 and an absorption promoting agent are used for prevention and treatment of **skin** disorders such as inflammation. An ointment was prepd. from FK506 4, propylene carbonate 20, bees **wax** 27.6, liq. **paraffin** 57.6, and white **petrolatum** 290.8 g. The ointment inhibited the inflammation induced by croton oil in mice ear by 66.8%.
ST macrocyclic compd ointment **skin** disease; FK506 ointment inflammation
IT Glycols, biological studies
RL: BIOL (Biological study)
(absorption promoter, ointment contg. tricyclic compd. and, for treatment of **skin** diseases)
IT Tricyclic compounds
RL: BIOL (Biological study)
(ointment contg. absorption promoter and, for treatment of **skin** diseases)
IT **Skin**, disease
(treatment of, tricyclic compd.-contg. ointments for)
IT **Carboxylic acids**, esters
RL: BIOL (Biological study)
(di-, esters, absorption promoter, ointment contg. tricyclic compd. and, for treatment of **skin** diseases)
IT Pharmaceutical dosage forms
(ointments, of tricyclic compds., absorption promoters in, for

treatment of **skin** diseases)

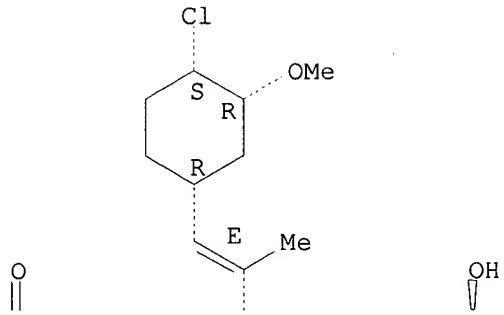
IT 57-55-6, Propylene glycol, biological studies 108-32-7, KPropylene carbonate 110-27-0, Isopropyl myristate 110-40-7, Diethyl sebacate 112-80-1, Oleic acid, biological studies 143-28-2, Oleyl alcohol 6938-94-9, Diisopropyl adipate 25496-72-4, Monoolein 27215-38-9, Monolaurin 59227-89-3, Azone
RL: BIOL (Biological study)
(absorption promoter, ointment contg. FK 506 and, for treatment of **skin** diseases)

IT **104987-11-3**, FK506 **104987-12-4**, FR900520
RL: BIOL (Biological study)
(ointment contg. absorption promoter and, for treatment of **skin** diseases)

ACCESSION NUMBER: 1992:241941 CAPLUS
DOCUMENT NUMBER: 116:241941
TITLE: Ointments containing tricyclic compounds for treatment of **skin** diseases
INVENTOR(S): Asakura, Sotoo; Murakami, Yoshio; Kanagawa, Nobuto; Nakate, Toshiomi
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 14 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 474126	A1	19920311	EP 1991-114598	19910830
EP 474126	B1	19970319		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
AU 9183515	A1	19920312	AU 1991-83515	19910830
AU 656145	B2	19950127		
AT 150304	E	19970415	AT 1991-114598	19910830
ES 2099112	T3	19970516	ES 1991-114598	19910830
HU 59002	A2	19920428	HU 1991-2846	19910903
ZA 9106983	A	19920527	ZA 1991-6983	19910903
RU 2079303	C1	19970520	RU 1991-5001707	19910903
CA 2050623	AA	19920305	CA 1991-2050623	19910904
CN 1059468	A	19920318	CN 1991-108796	19910904
JP 05017481	A2	19930126	JP 1991-224418	19910904
JP 2526752	B2	19960821		
US 5385907	A	19950131	US 1993-62330	19930517

PRIORITY APPLN. INFO.: JP 1990-235177 19900904
US 1991-750942 19910828
OTHER SOURCE(S): MARPAT 116:241941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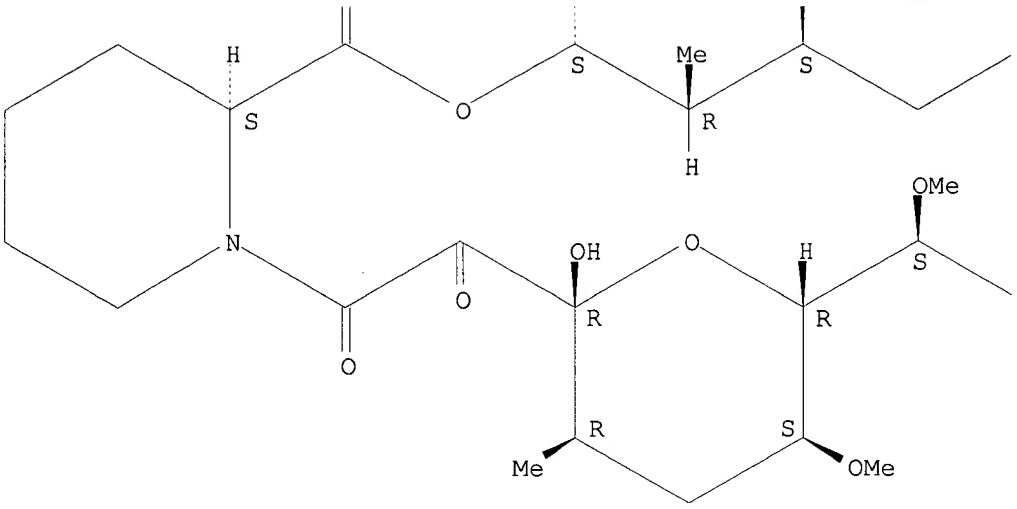
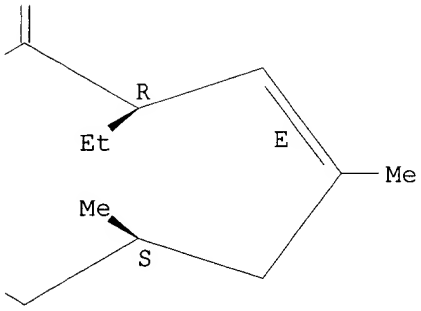
PAGE 2-A



PAGE 2-B



26 REFERENCES IN FILE CA (1967 TO DATE)
26 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1

AN 135:131512 CA
TI SDZ ASM 981
AU Wellington, Keri; Spencer, Caroline M.
CS Adis International Limited, Auckland, N. Z.
SO BioDrugs (2000), 14(6), 409-416
CODEN: BIDRF4; ISSN: 1173-8804
PB Adis International Ltd.
DT Journal; General Review
LA English
CC 1-0 (Pharmacology)
AB A review with 25 refs. SDZ ASM 981 is an anti-inflammatory macrolactam which binds with high affinity to macrophilin-12. The resulting complex inhibits calcineurin, thus blocking the synthesis of inflammatory cytokines. Twice daily application of topical SDZ ASM 981 1% cream was effective in the treatment of atopic dermatitis in adults and children in clin. trials. Summarized results from 260 patients with atopic dermatitis indicate that the efficacy of SDZ ASM 981 is dose dependent. The highest concn. evaluated (1% cream) was not as effective as betamethasone valerate 1% cream in this 3-wk trial. The efficacy of SDZ ASM 981 and clobetasol ointments, used under occlusion, did not differ significantly in 10 patients with chronic psoriasis. Likewise, SDZ ASM 981 0.6% and

betamethasone valerate 1% creams were similarly effective in 66 patients with allergic contact dermatitis. Concns. of SDZ ASM 981 in the blood during topical treatment were invariably below 2.1 .mu.g/L. Oral SDZ ASM 981 20mg or 30mg twice daily were effective in a dose dependent manner in the redn. of psoriasis in adults with no evidence of adverse effects. SDZ ASM 981 was well tolerated in the available trials, exhibiting no potential for systemic adverse reactions and no atrophogenic potential, a problem commonly assocd. with corticosteroid treatment.

ST review SDZASM981 atopic dermatitis psoriasis antiinflammatory

IT Dermatitis
(allergic, contact; pharmacokinetic, pharmacodynamic profile, clin. efficacy and tolerability of SDZ ASM 981 in humans)

IT Dermatitis
(atopic; pharmacokinetic, pharmacodynamic profile, clin. efficacy and tolerability of SDZ ASM 981 in humans)

IT Drug delivery systems
(ointments, creams; pharmacokinetic, pharmacodynamic profile, clin. efficacy and tolerability of SDZ ASM 981 in humans)

IT Anti-inflammatory agents
Psoriasis
(pharmacokinetic, pharmacodynamic profile, clin. efficacy and tolerability of SDZ ASM 981 in humans)

IT 137071-32-0, SDZ ASM 981
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BPR (Biological process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(pharmacokinetic, pharmacodynamic profile, clin. efficacy and tolerability of SDZ ASM 981 in humans)

RE.CNT 25

(1) Allen, R; 6th EADV 2000, V14(Suppl 1), P91
(2) Bochelen, D; J Pharmacol Exp Ther 1999, V288, P653 CAPLUS
(3) Burtin, P; Proceedings of the 57th Annual Meeting of the American Academy of Dermatology 1999
(4) Cherill, R; Proceedings of the 58th Annual Academy of Dermatology 2000
(5) Ebelin, M; JEADV 1998, V11(Suppl 2), PS270
(6) Friedmann, P; BMJ 1998, V316, P1226 MEDLINE
(7) Grassberger, M; Br J Dermatol 1999, V141, P264 CAPLUS
(8) Howarth, P; BMJ 1998, V316, P758 MEDLINE
(9) Hultsch, T; Arch Dermatol Res 1998, V290, P501 CAPLUS
(10) Landow, K; Postgrad Med 1997, V101(3), P101 MEDLINE
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(12) Meingassner, J; Br J Dermatol 1997, V137, P568 CAPLUS
(13) Mrowietz, U; Br J Dermatol 1998, V139, P992 CAPLUS
(14) Neckermann, G; Br J Dermatol 2000, V142, P669 CAPLUS
(15) Paul, C; Expert Opin Invest Drug 2000, V9, P69 CAPLUS
(16) Queille-Roussel, C; Australas J Dermatol 1997, V38(Suppl 2), P55
(17) Queille-Roussel, C; Contact Dermatitis 2000, V42, P349 MEDLINE
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(20) Rappersberger, K; J Invest Dermatol 2000, V114(4), P776
(21) Schlaak, J; J Invest Dermatol 1994, V102(2), P145 MEDLINE
(22) Van Leent, E; Arch Dermatol 1998, V134, P805 CAPLUS
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(24) Van Leent, E; Proceedings of the 57th Annual Meeting of the American Academy of Dermatology 1999
(25) Zuberbier, T; J Invest Dermatol 1999, V112, P608

(topical compns. comprising **ascomycins**)
RE.CNT 3
RE
(1) Fujisawa Pharmaceutical Co; EP 0423714 A 1991 CAPLUS
(2) Fujisawa Pharmaceutical Co; EP 0474126 A 1992 CAPLUS
(3) Sandoz Ltd; WO 9613249 A 1996 CAPLUS

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